09/ 574,740

Welcome to STN International! Enter x:x

LOGINID:ssspta1202txn

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS	4	DEC 08	INPADOC: Legal Status data reloaded
NEWS	5	SEP 29	DISSABS now available on STN
NEWS	6	OCT 10	PCTFULL: Two new display fields added
NEWS	7	OCT 21	BIOSIS file reloaded and enhanced
NEWS	8	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS	9	NOV 24	MSDS-CCOHS file reloaded
NEWS	10	DEC 08	CABA reloaded with left truncation
NEWS	11	DEC 08	IMS file names changed
NEWS	12	DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS	13	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAplus
NEWS	14	DEC 17	DGENE: Two new display fields added
NEWS	15	DEC 18	BIOTECHNO no longer updated
NEWS	16	DEC 19	CROPU no longer updated; subscriber discount no longer available
NEWS	17	DEC 22	Additional INPI reactions and pre-1907 documents added to CAS databases
NEWS	18	DEC 22	IFIPAT/IFIUDB/IFICDB reloaded with new data and search fields
NEWS	19	DEC 22	ABI-INFORM now available on STN

NEWS EXPRESS	DECEMBER 28 CURRENT WINDOWS VERSION IS V7.00, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 15:27:20 ON 13 JAN 2004

=> file reg

09/ 574,740

FILE 'REGISTRY' ENTERED AT 15:27:28 ON 13 JAN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 12 JAN 2004 HIGHEST RN 636984-67-3
DICTIONARY FILE UPDATES: 12 JAN 2004 HIGHEST RN 636984-67-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

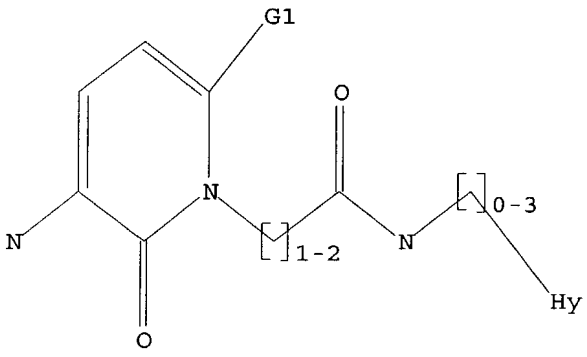
Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading 09574740.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR

Ak



G1 O,S,N,[@1]

Structure attributes must be viewed using STN Express query preparation.

=> s l1 ful
FULL SEARCH INITIATED 15:27:54 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4499 TO ITERATE

09/ 574,740

100.0% PROCESSED 4499 ITERATIONS 86 ANSWERS
SEARCH TIME: 00.00.01

L2 86 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 155.42 155.63

FILE 'CAPLUS' ENTERED AT 15:28:07 ON 13 JAN 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 13 Jan 2004 VOL 140 ISS 3
FILE LAST UPDATED: 12 Jan 2004 (20040112/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 17 L2

=> d l3 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 17 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:326042 CAPLUS
DOCUMENT NUMBER: 139:173173
TITLE: Non-Peptide .alpha.v.beta.3 antagonists. Part 6: Design and synthesis of .alpha.v.beta.3 antagonists containing a pyridone or pyrazinone central scaffold
AUTHOR(S): Breslin, Michael J.; Duggan, Mark E.; Halczenko, Wasyl; Fernandez-Metzler, Carmen; Hunt, Cecilia A.; Leu, Chih-Tai; Merkle, Kara M.; Naylor-Olsen, Adel M.; Prueksaritanont, Thomayant; Stump, Gary; Wallace, Audrey; Rodan, Sevgi B.; Hutchinson, John H.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(10), 1809-1812
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:173173
AB Two novel series of small-mol. RGD mimetics contg. either a substituted pyridone or pyrazinone central constraint were prepd. Modification of the .beta.-alanine 3-substituent produced compds. that are potent and selective .alpha.v.beta.3 antagonists and exhibit a range of physicochem.

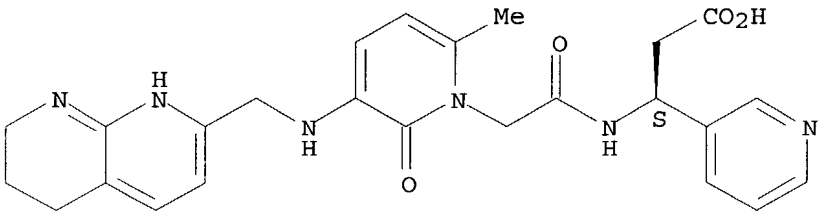
properties.

IT **204453-03-2P**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(design, synthesis and structure-activity relationship of non-peptide .alpha.v.beta.3 antagonists contg. a pyridone or pyrazinone central scaffold)

RN 204453-03-2 CAPLUS

CN 3-Pyridinepropanoic acid, .beta.-[[[6-methyl-2-oxo-3-[[(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)methyl]amino]-1(2H)-pyridinyl]acetyl]amino]-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:278092 CAPLUS

DOCUMENT NUMBER: 140:436

TITLE: Pharmacological Intervention at Disparate Sites in the Coagulation Cascade: Comparison of Anti-thrombotic Efficacy vs. Bleeding Propensity in a Rat Model of Acute Arterial Thrombosis

AUTHOR(S): Szalony, James A.; Taite, Beatrice B.; Girard, Thomas J.; Nicholson, Nancy S.; LaChance, Rhonda M.

CORPORATE SOURCE: Department of Cardiovascular Pharmacology, Pharmacia, Skokie, IL, 60077-5300, USA

SOURCE: Journal of Thrombosis and Thrombolysis (2002), Volume Date 2003, 14(2), 113-121
CODEN: JTTHFF; ISSN: 0929-5305

PUBLISHER: Kluwer Academic Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

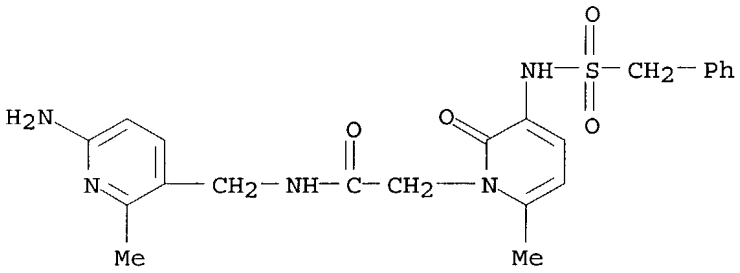
AB The Tissue Factor/Factor VIIa (TF/FVIIa) complex is an attractive target for pharmacol. interruption of thrombin generation and hence blood coagulation, as this complex is the initiation point of the extrinsic pathway of coagulation. TF is a cell membrane-assocd. protein that interacts with sol. FVIIa to activate factors IX and X resulting in a cascade of events that leads to thrombin generation and eventual fibrin deposition. The goal of this non-randomized study was to evaluate XK1, a specific protein inhibitor of TF/FVIIa, and compare antithrombotic efficacy and bleeding propensity to a previously described Factor Xa (FXa) inhibitor (SC-83157/SN 429) and a direct-acting thrombin inhibitor (SC-79407/L-374087) in an acute rat model of arterial thrombosis. All saline-treated animals experienced occlusion of the carotid artery due to acute thrombus formation within 20 min. Rats treated with XK1 exhibited a dose-dependent inhibition of thrombus formation with full antithrombotic efficacy and no change in bleeding time or total blood loss at a dose of 4.5 mg/kg, i.v. administered over a 60 min period. FXa inhibition with SC-83157 resulted in complete inhibition of thrombus formation at a dose of 1.2 mg/kg, i.v.; however, this effect was assocd. with substantial

blood loss. Thrombin inhibition with SC-79407 also afforded complete protection from thrombus formation and occlusion at a dose of 2.58 mg/kg, i.v., and like SC-83157, was assocd. with substantial blood loss. These data imply that TF/FVIIa inhibition confers protection from acute thrombosis without concomitant changes in bleeding, indicating that this target (TF/FVIIa) may provide improved sepn. of efficacy vs. bleeding side-effects than interruption of coagulation by directly inhibiting either FXa or thrombin.

IT **187162-39-6**, L 374087
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(SC 79407; pharmacol. intervention at disparate sites in the coagulation cascade and comparison of antithrombotic efficacy vs. bleeding propensity in a rat model of acute arterial thrombosis)

RN 187162-39-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:262945 CAPLUS

DOCUMENT NUMBER: 139:111069

TITLE: 3-Amino-4-sulfonylpyridinone acetamide and related pyridothiadiazine thrombin inhibitors

AUTHOR(S): Sanderson, Philip E. J.; Cutrona, Kellie J.; Savage, Kelly L.; Naylor-Olsen, Adel M.; Bickel, Denise J.; Bohn, Dennis L.; Clayton, Franklin C.; Krueger, Julie A.; Lewis, S. Dale; Lucas, Bobby J.; Lyle, Elizabeth A.; Wallace, Audrey A.; Welsh, Denice C.; Yan, Youwei

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(8), 1441-1444
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

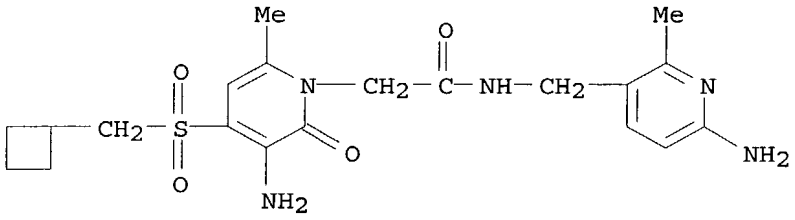
LANGUAGE: English

AB We describe a series of highly potent and efficacious thrombin inhibitors based on a 3-amino-4-sulfonylpyridinone acetamide template. The functionally dense sulfonyl group stabilizes the aminopyridinone, conformationally constrains the 4-substituent, and forms a hydrogen bond to the insertion loop tyrosine OH. We also describe a related series of fused bicyclic dihydrothiadiazinedioxide derivs., of which one had improved pharmacokinetics in dogs after oral dosing.

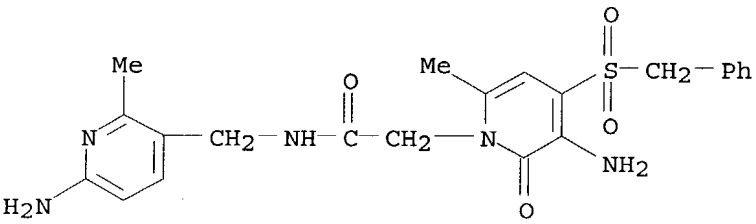
IT **210704-50-0P 210704-51-1P 210704-52-2P**
210704-53-3P 210704-97-5P 210704-99-7P
210705-00-3P 210705-01-4P 210705-04-7P
262616-71-7P 262616-73-9P 262616-76-2P

565198-10-9P
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and structure activity relations of aminosulfonylpyridinone acetamide and related pyridothiadiazine thrombin inhibitors)

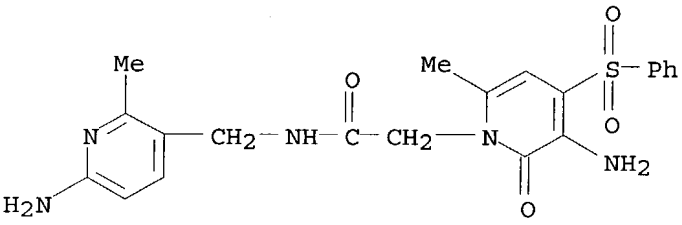
RN 210704-50-0 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclobutylmethyl)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



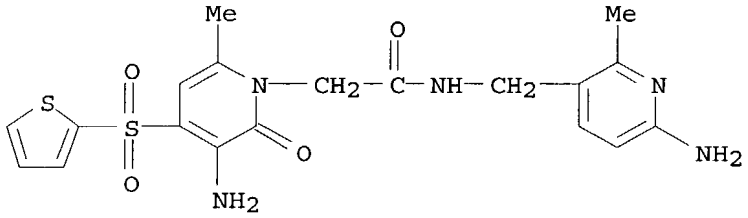
RN 210704-51-1 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-4-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)



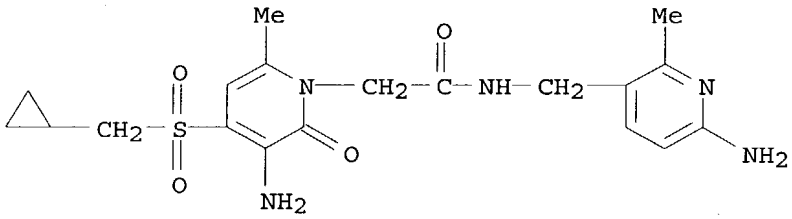
RN 210704-52-2 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-4-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



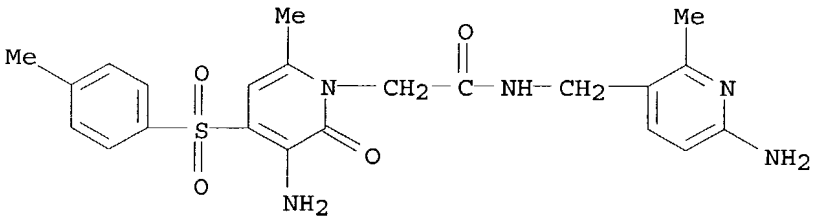
RN 210704-53-3 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-4-(2-thienylsulfonyl)- (9CI) (CA INDEX NAME)



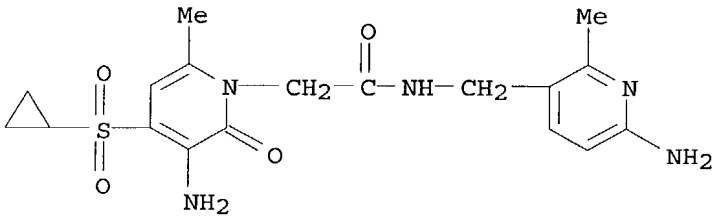
RN 210704-97-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclopropylmethyl)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



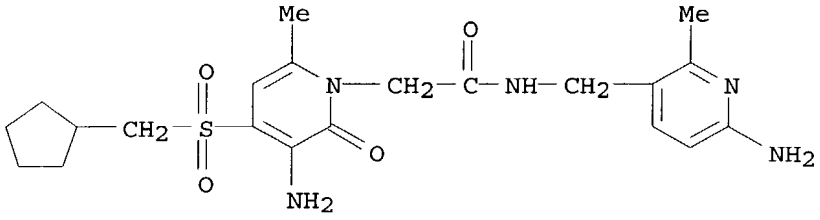
RN 210704-99-7 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-4-[(4-methylphenyl)sulfonyl]-2-oxo- (9CI) (CA INDEX NAME)



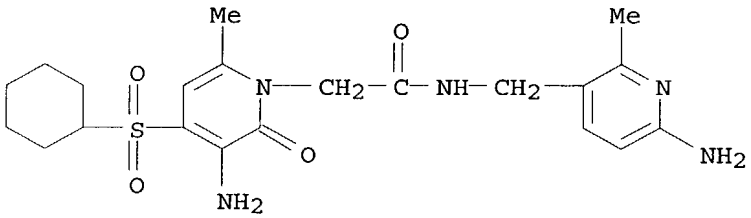
RN 210705-00-3 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-(cyclopropylsulfonyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



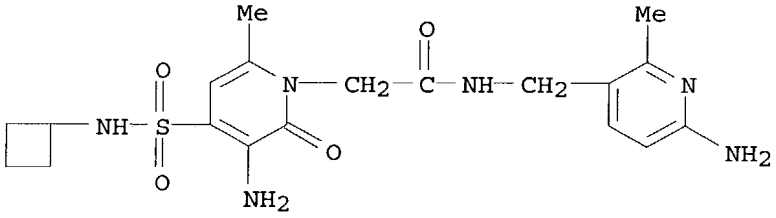
RN 210705-01-4 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclopentylmethyl)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



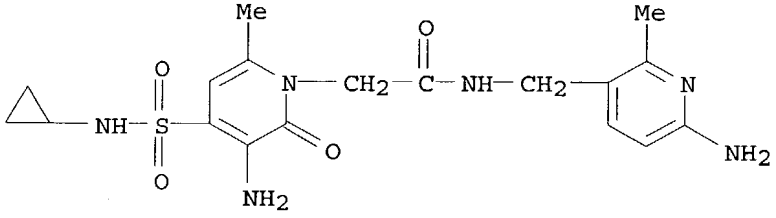
RN 210705-04-7 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-(cyclohexylsulfonyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



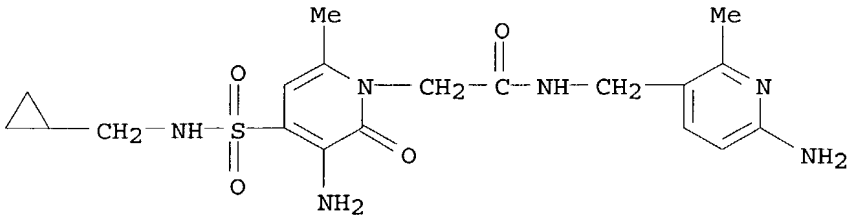
RN 262616-71-7 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclobutylamino)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



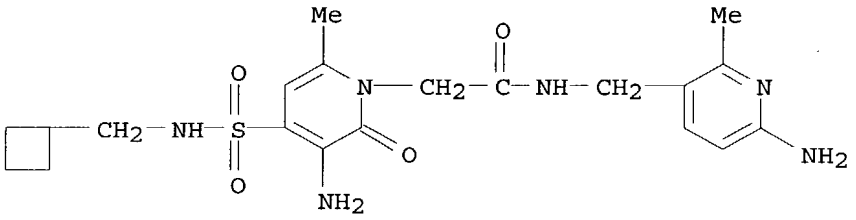
RN 262616-73-9 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclopropylamino)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 262616-76-2 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[[(cyclopropylmethyl)amino]sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 565198-10-9 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[[(cyclobutylmethyl)amino]sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

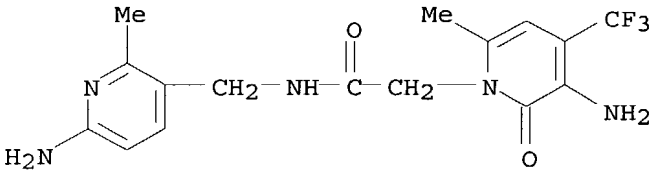


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:943628 CAPLUS
DOCUMENT NUMBER: 139:46388
TITLE: Small, low nanomolar, noncovalent thrombin inhibitors lacking a group to fill the 'distal binding pocket'
AUTHOR(S): Sanderson, Philip E. J.; Cutrona, Kellie J.; Dyer, Dona L.; Krueger, Julie A.; Kuo, Lawrence C.; Lewis, S. Dale; Lucas, Bobby J.; Yan, Youwei
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(2), 161-164
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Use of a chlorophenoxyacetamide P1 group with a pyridinone acetamide P2/P3 gave an exceptionally potent thrombin inhibitor (Ki=40 pM). Truncation of the mol. at the N-terminus gave unique, low nanomolar, non-covalent thrombin inhibitors which do not have a group to fill thrombin's 'distal binding pocket'. A co-crystal structure indicates the importance of an intramol. hydrogen bond between the P1 side chain and P1/P2 amide link in this series.

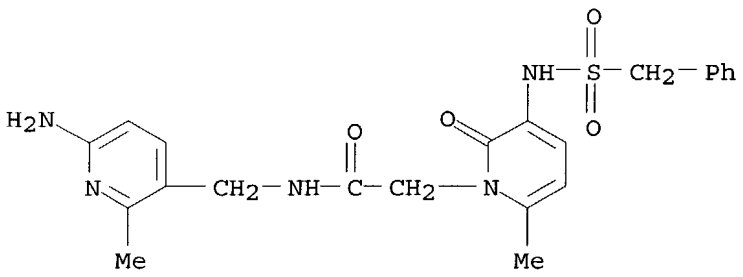
IT **546062-63-9P**
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(small, low nanomolar, noncovalent thrombin inhibitors lack group occupying distal binding pocket)
RN 546062-63-9 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:527755 CAPLUS
DOCUMENT NUMBER: 135:266637
TITLE: Is There a Difference between Leads and Drugs? A Historical Perspective
AUTHOR(S): Oprea, Tudor I.; Davis, Andrew M.; Teague, Simon J.; Leeson, Paul D.
CORPORATE SOURCE: AstraZeneca R&D Molndal EST Lead Informatics, Moelndal, S 431 83, Swed.
SOURCE: Journal of Chemical Information and Computer Sciences (2001), 41(5), 1308-1315
CODEN: JCISD8; ISSN: 0095-2338
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB To be considered for further development, lead structures should display the following properties: (1) simple chem. features, amenable for chem. optimization; (2) membership to an established SAR series; (3) favorable patent situation; and (4) good absorption, distribution, metab., and excretion (ADME) properties. There are two distinct categories of leads: those that lack any therapeutic use (i.e., "pure" leads), and those that are marketed drugs themselves but have been altered to yield novel drugs. We have previously analyzed the design of leadlike combinatorial libraries starting from 18 lead and drug pairs of structures (S. J. Teague et al. Angew. Chem., Int. Ed. Engl. 1999, 38, 3743-3748). Here, we report results based on an extended dataset of 96 lead-drug pairs, of which 62 are lead structures that are not marketed as drugs, and 75 are drugs that are not presumably used as leads. We examd. the following properties: MW (mol. wt.), CMR (the calcd. mol. refractivity), RNG (the no. of rings), RTB (the no. of rotatable bonds), the no. of hydrogen bond donors (HDO) and acceptors (HAC), the calcd. logarithm of the n-octanol/water partition (CLogP), the calcd. logarithm of the distribution coeff. at pH 7.4 (LogD74), the Daylight-fingerprint druglike score (DFPS), and the property and pharmacophore features score (PPFS). The following differences were obsd. between the medians of drugs and leads: .DELTA.MW = 69; .DELTA.CMR = 1.8; .DELTA.RNG = .DELTA.HAC =1; .DELTA.RTB = 2; .DELTA.CLogP = 0.43; .DELTA.LogD74 = 0.97; .DELTA.HDO = 0; .DELTA.DFPS = 0.15; .DELTA.PPFS = 0.12. Lead structures exhibit, on the av., less mol. complexity (less MW, less no. of rings and rotatable bonds), are less hydrophobic (lower CLogP and LogD74), and less druglike (lower druglike scores). These findings indicate that the process of optimizing a lead into a drug results in more complex structures. This information should be used in the design of novel combinatorial libraries that are aimed at lead discovery.
IT **187162-39-6**, L-374087
RL: PRP (Properties)
(drug design and structure-activity relationship between leads and leadlike drugs)
RN 187162-39-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

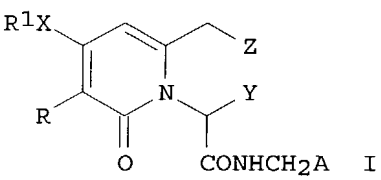


REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:384154 CAPLUS
DOCUMENT NUMBER: 133:30661
TITLE: Preparation of 2-pyridone derivatives and their antithrombotic activity
INVENTOR(S): Lassalle, Gilbert; Fossey, Valerie; Marquais, Sophie; Bellevergue, Patrice; Bourbier, Jean-claude; Galtier, Daniel
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000032574	A1	20000608	WO 1999-FR2902	19991125
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
FR 2786482	A1	20000602	FR 1998-14946	19981127
FR 2786482	B1	20020809		

PRIORITY APPLN. INFO.: FR 1998-14946 A 19981127
OTHER SOURCE(S): MARPAT 133:30661
GI



AB The title compds. I [R = NO2, NR2R3, NHR3; R1 = H, alkyl, cycloalkyl, aryl, arylalkyl; X = O, S, CH2, NH; XR1 = H; Y = H, alkyl; Z = H, OH; A = = (un)substituted Ph, heterocyclyl, etc.], antithrombotics (no data), were

prepd. E.g., Me [1-[2-[[[4-(aminoiminomethyl)phenyl]methyl]amino]-2-oxoethyl]-6-(hydroxymethyl)-4-methoxy-2-oxo-1,2-dihydropyridin-3-yl]carbamate hydrochloride was prepd.

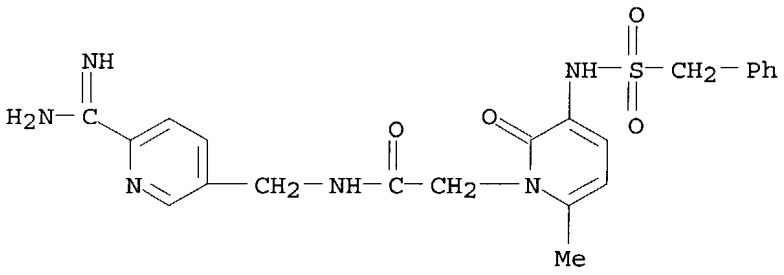
IT **273754-23-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyridone derivs. and their antithrombotic activity)

RN 273754-23-7 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[[6-(aminoiminomethyl)-3-pyridinyl]methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, monomethanesulfonate (9CI) (CA INDEX NAME)

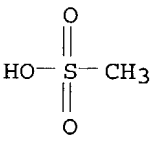
CM 1

CRN 273754-22-6
CMF C22 H24 N6 O4 S



CM 2

CRN 75-75-2
CMF C H4 O3 S



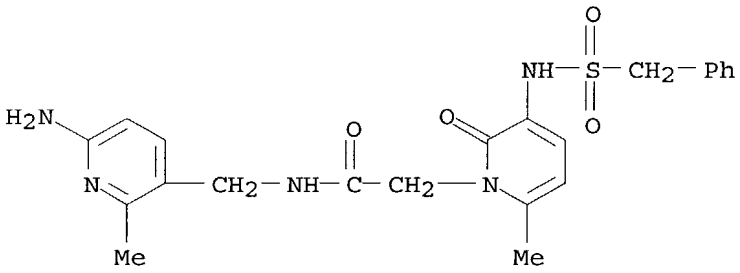
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:379668 CAPLUS
DOCUMENT NUMBER: 133:159793
TITLE: Bicyclic pyridones as potent, efficacious and orally bioavailable thrombin inhibitors
AUTHOR(S): Coburn, Craig A.; Rush, Diane M.; Williams, Peter D.; Homnick, Carl; Lyle, Elizabeth A.; Lewis, S. Dale; Lucas, Bobby J., Jr.; di Muzio-Mower, Jillian M.; Juliano, Marylou; Krueger, Julie A.; Vastag, Kari; Chen, I-Wu; Vacca, Joseph P.
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2000), 10(10), 1069-1072
CODEN: BMCLE8; ISSN: 0960-894X

09/ 574,740

PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A new class of conformationally constrained thrombin inhibitors is described. These compds. contain a unique bicyclic pyridone scaffold which serves as a P3P2 dipeptide surrogate. The synthesis and antithrombotic activity of these inhibitors is reported.
IT **187162-39-6**
RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(bicyclic pyridones as potent, efficacious and orally bioavailable thrombin inhibitors)
RN 187162-39-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:227653 CAPLUS
DOCUMENT NUMBER: 132:251163
TITLE: Preparation of pyridinones, pyridothiazines and pyridothiadiazines as thrombin inhibitors
INVENTOR(S): Sanderson, Philip E.; Cutrona, Kellie
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018762	A1	20000406	WO 1999-US22160	19990924
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2343109	AA	20000406	CA 1999-2343109	19990924
AU 9961613	A1	20000417	AU 1999-61613	19990924
AU 758237	B2	20030320		
EP 1117660	A1	20010725	EP 1999-948436	19990924

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

JP 2002525370	T2	20020813	JP 2000-572222		19990924
US 6117888	A	20000912	US 1999-407830		19990928
PRIORITY APPLN. INFO.:			US 1998-102021P	P	19980928
			WO 1999-US22160	W	19990924

OTHER SOURCE(S): MARPAT 132:251163

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I or II; X = N, CH; R1, R2 = H, (un)substituted Ph, naphthyl, etc.; NR1R2 = 5-6 membered ring contg. 1 N atom; R3 = H, (un)substituted Ph, naphthyl, etc.; R4 = H, alkyl, cycloalkyl, CF3], useful in inhibiting thrombin and assocd. thrombotic occlusions, were prepd. and formulated. E.g., a multi-step synthesis of III.HCl was given.

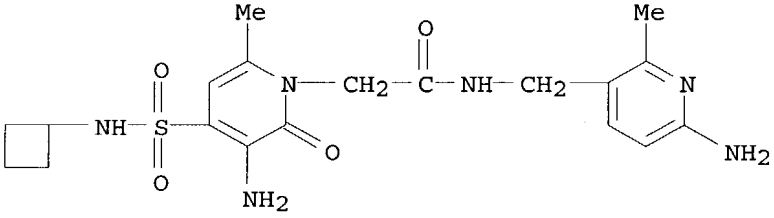
IT **262616-71-7P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of pyridinones, pyridothiazines and pyridothiadiazines as thrombin inhibitors)

RN 262616-71-7 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclobutylamino)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



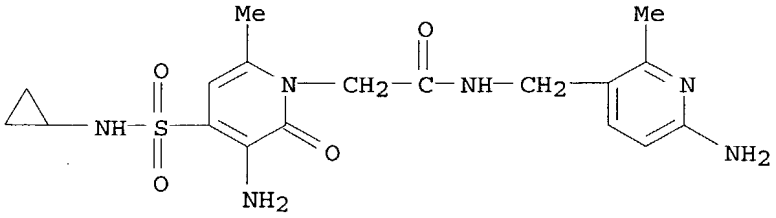
IT **262616-73-9P 262616-75-1P 262616-76-2P**
262616-77-3P 262616-80-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyridinones, pyridothiazines and pyridothiadiazines as thrombin inhibitors)

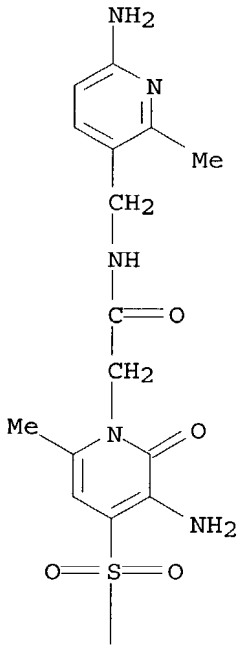
RN 262616-73-9 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclopropylamino)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

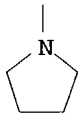


RN 262616-75-1 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-4-(1-pyrrolidinylsulfonyl)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

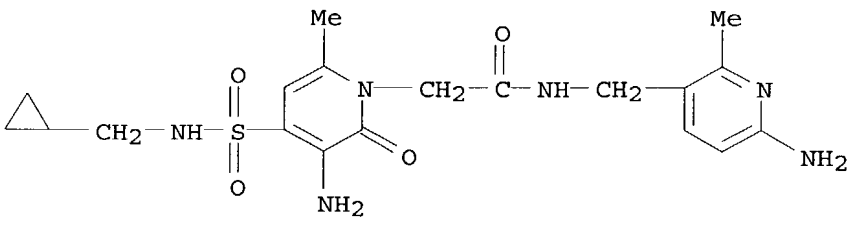


PAGE 2-A



● HCl

RN 262616-76-2 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[[(cyclopropylmethyl)amino]sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

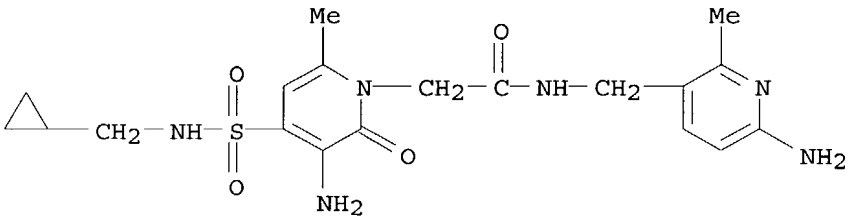


09/ 574,740

RN 262616-77-3 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[[(cyclopropylmethyl)amino]sulfonyl]-6-methyl-2-oxo-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

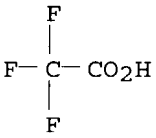
CM 1

CRN 262616-76-2
CMF C19 H26 N6 O4 S



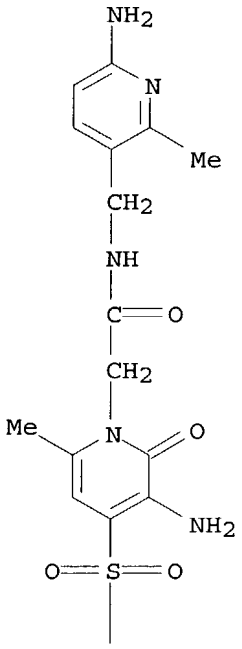
CM 2

CRN 76-05-1
CMF C2 H F3 O2

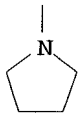


RN 262616-80-8 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-4-(1-pyrrolidinylsulfonyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:764039 CAPLUS
DOCUMENT NUMBER: 132:12328
TITLE: Preparation of imidazopyridines as thrombin inhibitors
INVENTOR(S): Sanderson, Philip E.; Naylor-Olsen, Adel M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9961442	A1	19991202	WO 1999-US11463	19990524

W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,

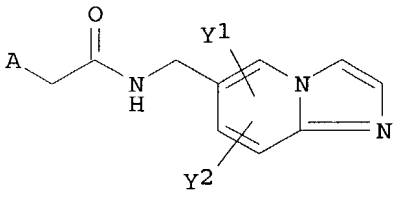
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2333040	AA	19991202	CA 1999-2333040	19990524	
AU 9940969	A1	19991213	AU 1999-40969	19990524	
AU 742178	B2	20011220			
EP 1082324	A1	20010314	EP 1999-924483	19990524	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO					
JP 2002516326	T2	20020604	JP 2000-550848	19990524	
US 6093717	A	20000725	US 1999-320339	19990526	

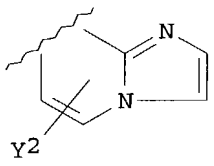
PRIORITY APPLN. INFO.: US 1998-86723P P 19980526
WO 1999-US11463 W 19990524

OTHER SOURCE(S): MARPAT 132:12328

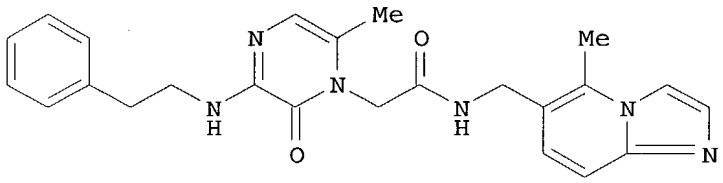
GI



I



II



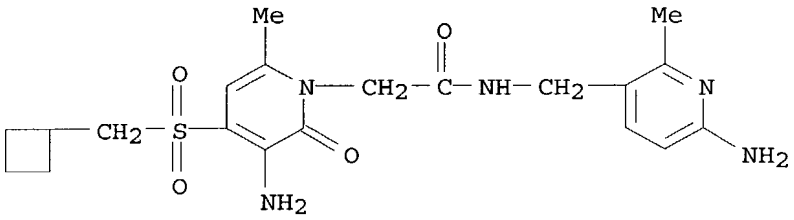
III

AB The title compds. [I or II; Y1, Y2 = H, C1-4 alkyl, C1-4 alkoxy, C3-7 cycloalkyl, halo, CF3; A = residue of N-linked pyrazinone, pyridinone or tetrahydronaphthyridinone deriv. of specified structure] or their pharmaceutically acceptable salts, useful in inhibiting thrombin and assocd. thrombotic occlusions, were prepd. by cyclocondensation of the known 2-aminopyridine precursors with .alpha.-halo acetaldehydes. Ten compds. I and II are prepd. and claimed. For example, imidazopyridine deriv. III-2HCl (IV) was prepd. by cyclocondensation of known 3-(2-phenylethylamino)-6-methyl-1-(2-amino-6-methyl-5-methylenecarboxamidomethylenepyridinyl)pyrazinone with BrCH2CH(OEt)2. The tablets and i.v. injection formulations contg. IV and 3 other related compds. are given.

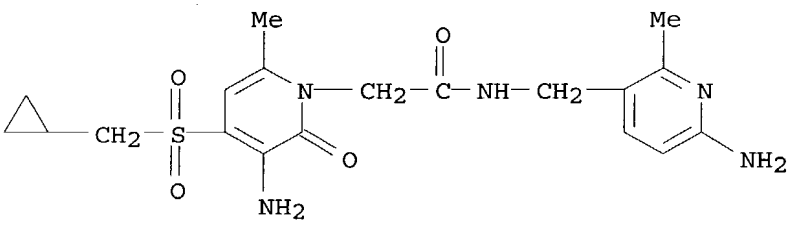
IT **210704-50-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and cyclocondensation with bromoacetaldehyde; prepn. of imidazopyridines as thrombin inhibitors)

RN 210704-50-0 CAPLUS

CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclobutylmethyl)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



IT **210704-97-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of imidazopyridines as thrombin inhibitors)
RN 210704-97-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclopropylmethyl)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

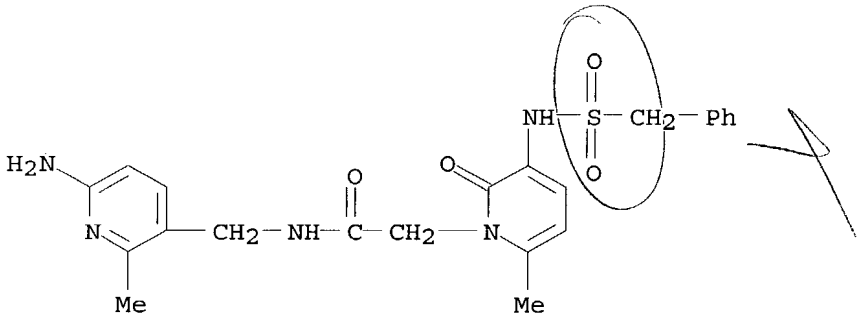
L3 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:226282 CAPLUS
DOCUMENT NUMBER: 131:27721
TITLE: Antithrombotic efficacy of thrombin inhibitor L-374,087: intravenous activity in a primate model of venous thrombus extension and oral activity in a canine model of primary venous and coronary artery thrombosis
AUTHOR(S): Cook, Jacquelynn J.; Gardell, Stephen J.; Holahan, Marie A.; Sitko, Gary R.; Stump, Gary L.; Wallace, Audrey A.; Gilberto, David B.; Hare, Timothy R.; Krueger, Julie A.; Dyer, Dona L.; Sanderson, Philip E. J.; Vacca, Joseph P.; Shafer, Jules A.; Lynch, Joseph J., Jr.
CORPORATE SOURCE: Departments of Pharmacology, Merck Research Laboratories, West Point, PA, USA
SOURCE: Journal of Pharmacology and Experimental Therapeutics (1999), 289(1), 503-510
CODEN: JPETAB; ISSN: 0022-3565
PUBLISHER: American Society for Pharmacology and Experimental Therapeutics
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The small mol. direct thrombin inhibitor L-374,087 was characterized across species in an in vitro activated partial thromboplastin clotting time (aPTT) assay and in vivo in rhesus monkey and dog thrombosis models. In vitro in rhesus, dog, and human plasma, L-374,087 concns. eliciting 2-fold increases in aPTT were 0.25, 1.9, and 0.28 .mu.M, resp. In anesthetized rhesus monkeys, 300 .mu.g/kg bolus plus 12 .mu.g/kg/min and 300 .mu.g/kg bolus plus 30 .mu.g/kg/min L-374,087 i.v. infusions

significantly reduced jugular vein thrombus extension, with both regimens limiting venous thrombus extension to 2-fold that of baseline thrombus mass compared with a 5-fold extension obsd. in the vehicle control group. Antithrombotic efficacy in the rhesus with the lower-dose regimen was achieved with 2.3- to 2.4-fold increases in aPTT and prothrombin time. In a conscious instrumented dog model of electrolytic vessel injury, the oral administration of two 10 mg/kg L-374,087 doses 12 h apart significantly reduced jugular vein thrombus mass, reduced the incidence of and delayed time to occlusive coronary artery thrombosis, and significantly reduced coronary artery thrombus mass and ensuing posterolateral myocardial infarct size. Antithrombotic efficacy in the dog was achieved with 1.6- to 2.0-fold increases in aPTT at 1 to 6 h after oral dosing with L-374,087. These results indicate significant antithrombotic efficacy against both venous and coronary arterial thrombosis with L-374,087 with only moderate elevations in aPTT or prothrombin time. The oral efficacy of L-374,087 characterizes this compd. as a prototype for the further development of orally active direct thrombin inhibitors.

IT **187162-39-6**, L 374087
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antithrombotic efficacy of thrombin inhibitor L-374,087 administered i.v. in primate venous thrombus extension model and orally in canine primary venous and coronary artery thrombosis)

RN 187162-39-6 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:642711 CAPLUS

DOCUMENT NUMBER: 130:47115

TITLE: Efficacious, Orally Bioavailable Thrombin Inhibitors Based on 3-Aminopyridinone or 3-Aminopyrazinone Acetamide Peptidomimetic Templates

AUTHOR(S): Sanderson, Philip E. J.; Lyle, Terry A.; Cutrona, Kellie J.; Dyer, Dona L.; Dorsey, Bruce D.; McDonough, Colleen M.; Naylor-Olsen, Adel M.; Chen, I-Wu; Chen, Zhongguo; Cook, Jacquelynn J.; Cooper, Carolyn M.; Gardell, Stephen J.; Hare, Timothy R.; Krueger, Julie A.; Lewis, S. Dale; Lin, Jiunn H.; Lucas, Bobby J., Jr.; Lyle, Elizabeth A.; Lynch, Joseph J.; Stranieri, Maria T.; Vastag, Kari; Yan, Youwei; Shafer, Jules A.; Vacca, Joseph P.

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, West Point, PA, 19486, USA

SOURCE: Journal of Medicinal Chemistry (1998), 41(23), 4466-4474

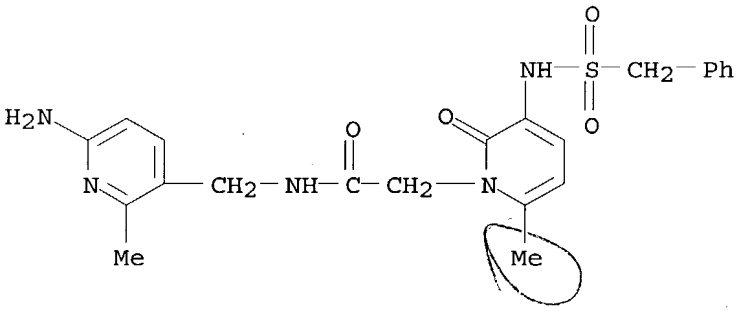
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The key deficiency of noncovalent pyridinone acetamide thrombin inhibitor L-374,087 (I), namely, its modest half-lives in animals, was addressed by making a chem. stable 3-alkylaminopyrazinone bioisostere for its 3-sulfonylaminopyridinone core. The new compd., L-375,378, the closest aminopyrazinone analog of I, has comparable selectivity and slightly decreased efficacy but significantly improved pharmacokinetics in rats, dogs, and monkeys to I. An efficient and versatile synthesis of L-375,378 is presented; this compd. has been chosen for further preclin. and clin. development.

IT **187162-39-6P**, L 374087
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(prepn. and structure-activity relations and pharmacokinetics of orally bioavailable thrombin inhibitors)

RN 187162-39-6 CAPLUS

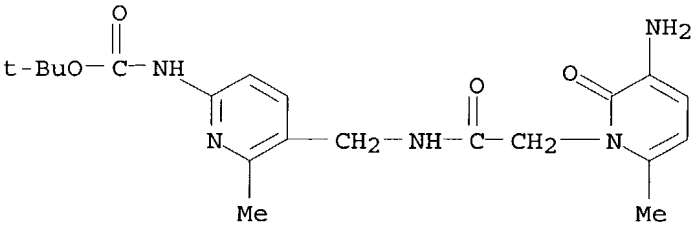
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



IT **187237-49-6P 216979-24-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and structure-activity relations and pharmacokinetics of orally bioavailable thrombin inhibitors)

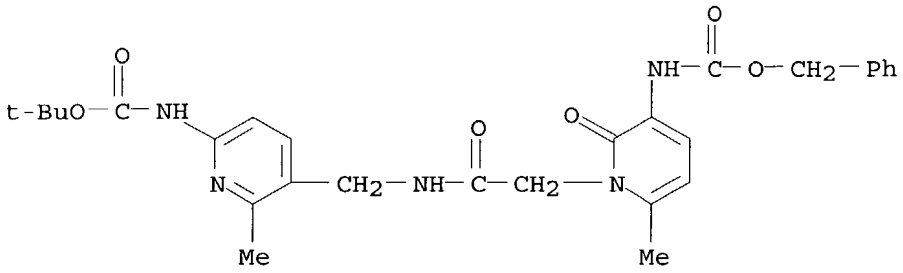
RN 187237-49-6 CAPLUS

CN Carbamic acid, [5-[[[(3-amino-6-methyl-2-oxo-1(2H)-pyridinyl)acetyl]amino]methyl]-6-methyl-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

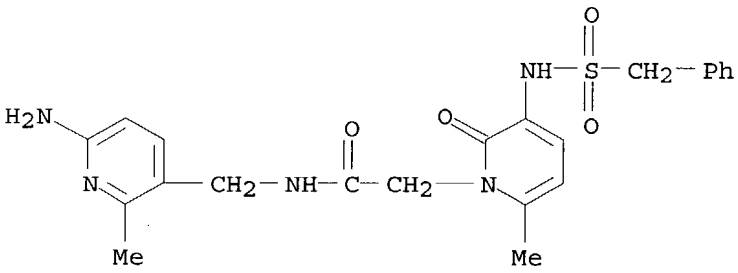


RN 216979-24-7 CAPLUS

CN Carbamic acid, [1-[2-[[[6-[[(1,1-dimethylethoxy)carbonyl]amino]-2-methyl-3-pyridinyl]methyl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



IT **216979-23-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and structure-activity relations and pharmacokinetics of orally bioavailable thrombin inhibitors)
RN 216979-23-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:509187 CAPLUS
DOCUMENT NUMBER: 129:136100
TITLE: Preparation of aminopyridones as thrombin inhibitors.
INVENTOR(S): Sanderson, Philip E.; Lyle, Terry A.; Coburn, Craig
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 87 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9831670	A1	19980723	WO 1998-US875	19980116

W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI,

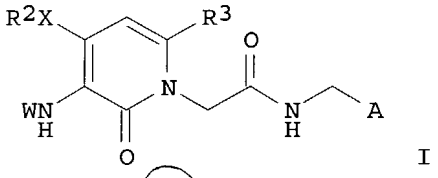
FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM,
GA, GN, ML, MR, NE, SN, TD, TG

AU 9859613	A1	19980807	AU 1998-59613	19980116	
AU 722429	B2	20000803			
EP 971891	A1	20000119	EP 1998-902807	19980116	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
JP 2001508796	T2	20010703	JP 1998-534574	19980116	
US 6017934	A	20000125	US 1998-9616	19980120	

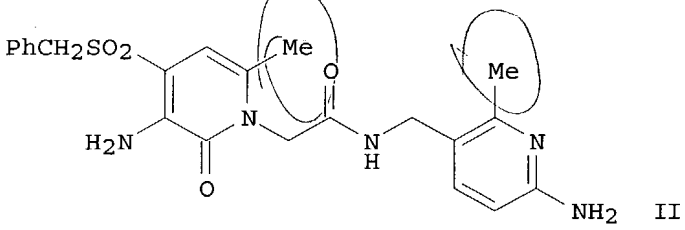
PRIORITY APPLN. INFO.: US 1997-36149P P 19970122
GB 1997-4209 A 19970228
WO 1998-US875 W 19980116

OTHER SOURCE(S): MARPAT 129:136100

GI



I



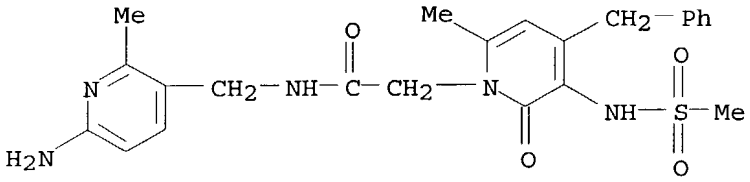
II

AB Title compds. [I; W = H, R1, R1O2C, R1CO, R1SO2, etc.; R2 = (substituted) Ph, naphthyl, biphenyl, bicyclic heterocyclyl, alkyl, CF3, cycloalkyl, bicycloalkyl, tricycloalkyl; X = CF2, CR15R16, S, SO, SO2; R15, R16 = H, cycloalkyl, (substituted) alkyl, etc.; R15R16 = 4-7 membered (substituted) ring; R3 = H, alkyl, cycloalkyl, CF3; A = (substituted) Ph, aminopyridyl], were prepd. Thus, claimed compd. (II) inhibited thrombin with Ki<10 nM.

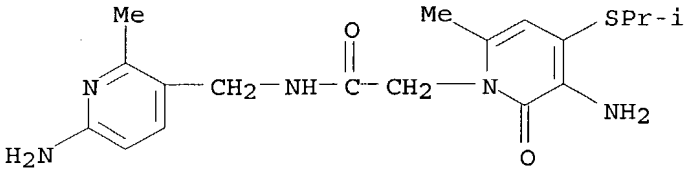
IT **210704-45-3P 210704-47-5P 210704-48-6P**
210704-49-7P 210704-50-0P 210704-51-1P
210704-52-2P 210704-53-3P 210704-54-4P
210704-55-5P 210704-97-5P 210704-99-7P
210705-00-3P 210705-01-4P 210705-02-5P
210705-03-6P 210704-04-7P 210705-05-8P
210705-07-0P 210705-09-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of aminopyridones as thrombin inhibitors)

RN 210704-45-3 CAPLUS

CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-3-[(methylsulfonyl)amino]-2-oxo-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

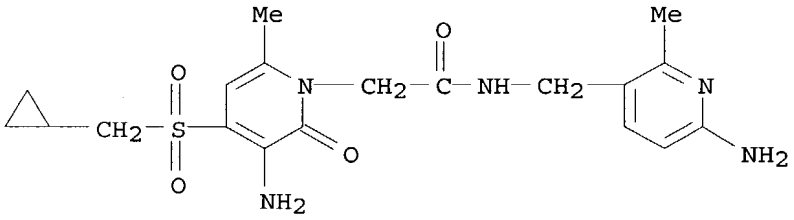


RN 210704-47-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-4-[(1-methylethyl)thio]-2-oxo-, dihydrochloride (9CI) (CA INDEX NAME)



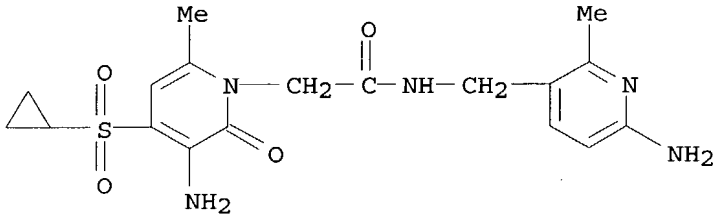
●2 HCl

RN 210704-48-6 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclopropylmethyl)sulfonyl]-6-methyl-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)



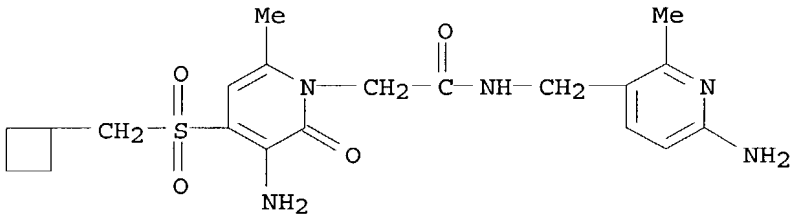
● HCl

RN 210704-49-7 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-(cyclopropylsulfonyl)-6-methyl-2-oxo-, monohydrochloride (9CI) (CA INDEX NAME)

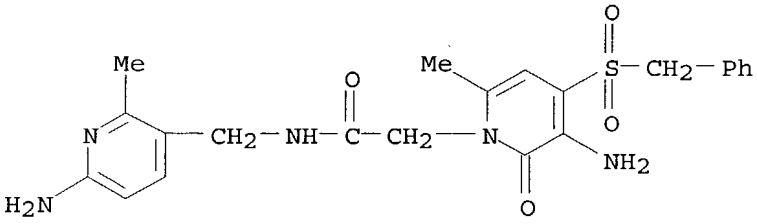


● HCl

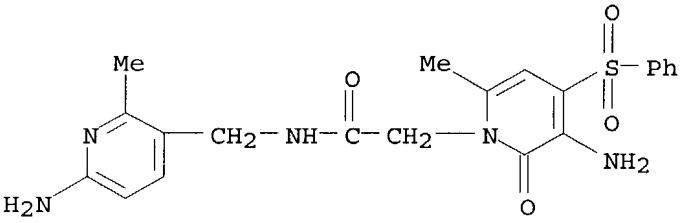
RN 210704-50-0 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclobutylmethyl)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



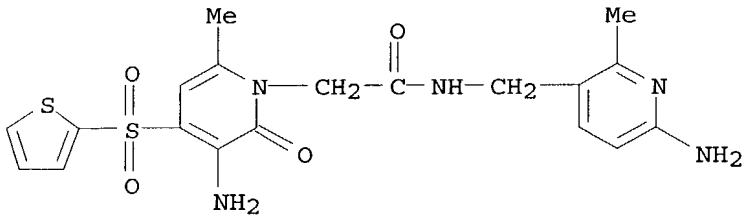
RN 210704-51-1 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-4-[(phenylmethyl)sulfonyl]- (9CI) (CA INDEX NAME)



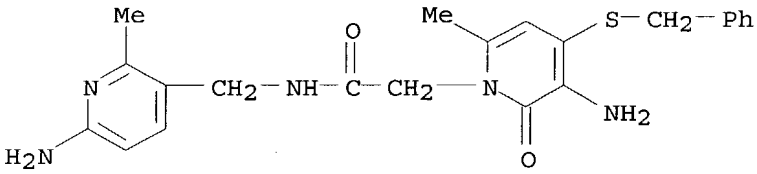
RN 210704-52-2 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-4-(phenylsulfonyl)- (9CI) (CA INDEX NAME)



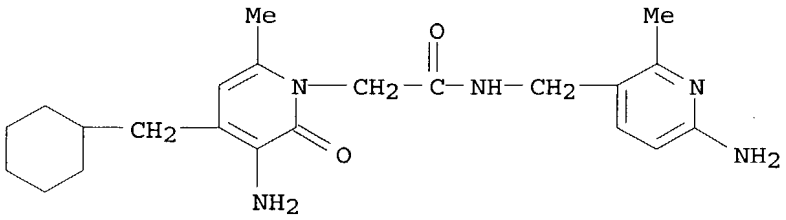
RN 210704-53-3 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-4-(2-thienylsulfonyl)- (9CI) (CA INDEX NAME)



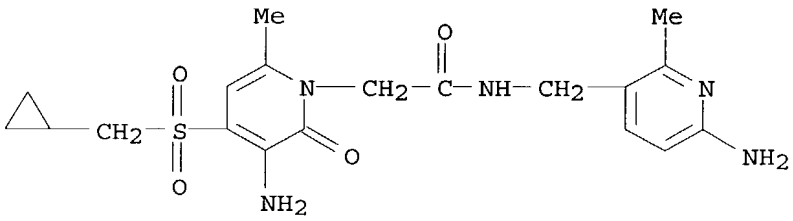
RN 210704-54-4 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-4-[(phenylmethyl)thio]- (9CI) (CA INDEX NAME)



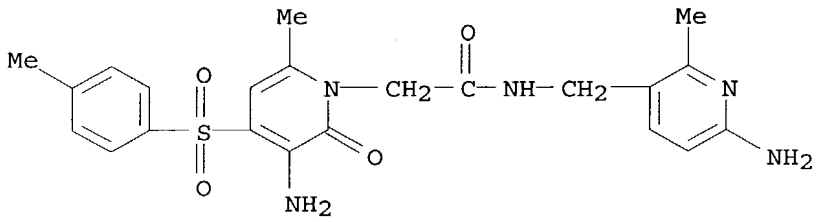
RN 210704-55-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-(cyclohexylmethyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



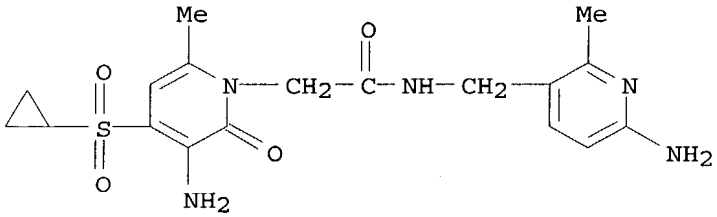
RN 210704-97-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclopropylmethyl)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



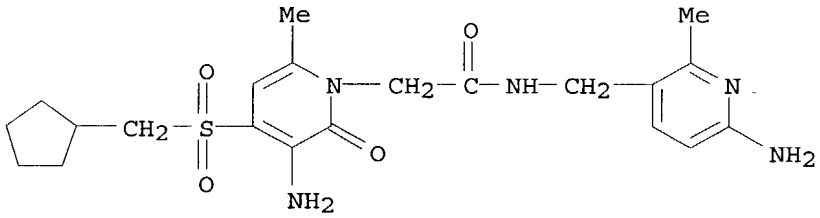
RN 210704-99-7 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-4-[(4-methylphenyl)sulfonyl]-2-oxo- (9CI) (CA INDEX NAME)



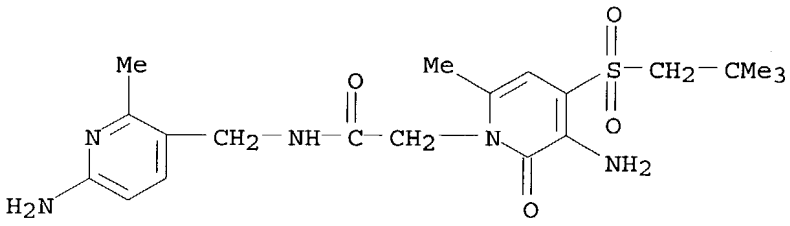
RN 210705-00-3 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-(cyclopropylsulfonyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



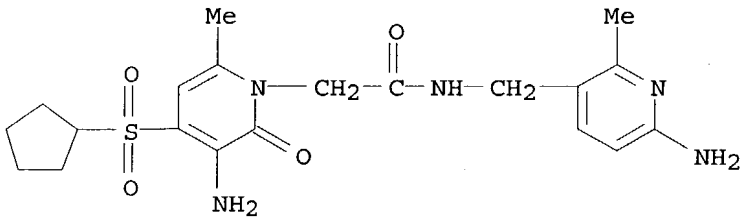
RN 210705-01-4 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclopentylmethyl)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



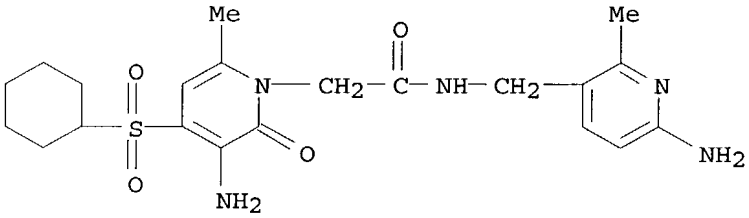
RN 210705-02-5 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(2,2-dimethylpropyl)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



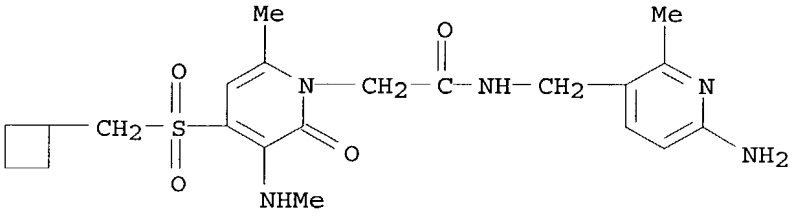
RN 210705-03-6 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-(cyclopentylsulfonyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



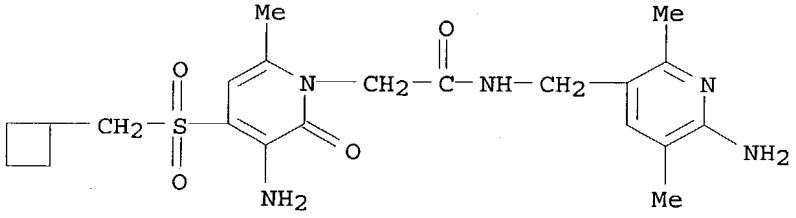
RN 210705-04-7 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-(cyclohexylsulfonyl)-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



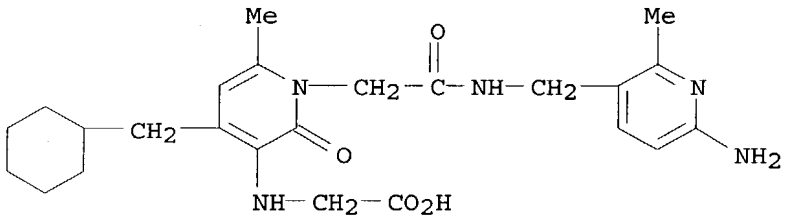
RN 210705-05-8 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-4-[(cyclobutylmethyl)sulfonyl]-6-methyl-3-(methylamino)-2-oxo- (9CI) (CA INDEX NAME)



RN 210705-07-0 CAPLUS
CN 1(2H)-Pyridineacetamide, 3-amino-N-[(6-amino-2,5-dimethyl-3-pyridinyl)methyl]-4-[(cyclobutylmethyl)sulfonyl]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

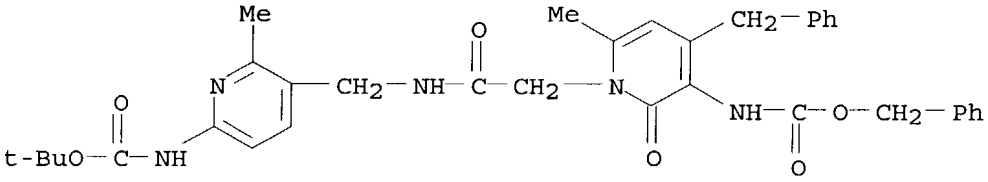


RN 210705-09-2 CAPLUS
CN Glycine, N-[1-[2-[[(6-amino-2-methyl-3-pyridinyl)methyl]amino]-2-oxoethyl]-4-(cyclohexylmethyl)-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]- (9CI) (CA INDEX NAME)

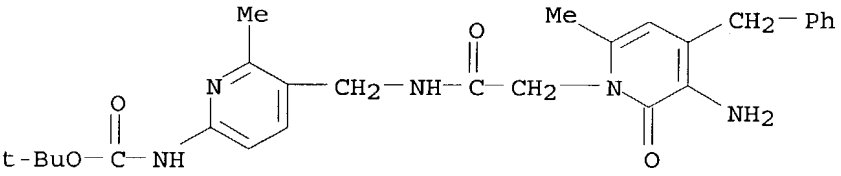


IT **210704-62-4P 210704-63-5P 210704-64-6P**
210704-78-2P 210704-79-3P 210704-92-0P
210704-93-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of aminopyridones as thrombin inhibitors)

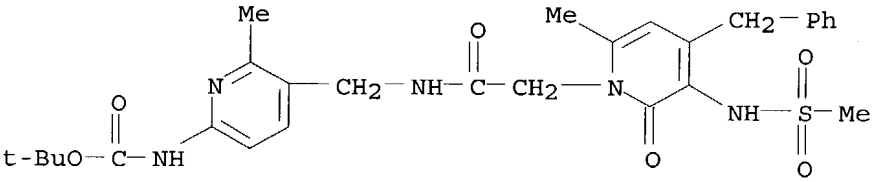
RN 210704-62-4 CAPLUS
CN Carbamic acid, [1-[2-[[[6-[[(1,1-dimethylethoxy)carbonyl]amino]-2-methyl-3-pyridinyl]methyl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-4-(phenylmethyl)-3-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 210704-63-5 CAPLUS
CN Carbamic acid, [5-[[[[3-amino-6-methyl-2-oxo-4-(phenylmethyl)-1(2H)-pyridinyl]acetyl]amino]methyl]-6-methyl-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

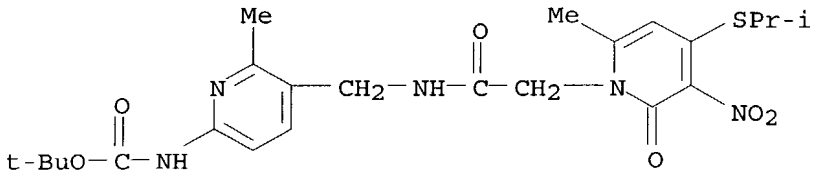


RN 210704-64-6 CAPLUS
CN Carbamic acid, [6-methyl-5-[[[[6-methyl-3-[(methylsulfonyl)amino]-2-oxo-4-(phenylmethyl)-1(2H)-pyridinyl]acetyl]amino]methyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

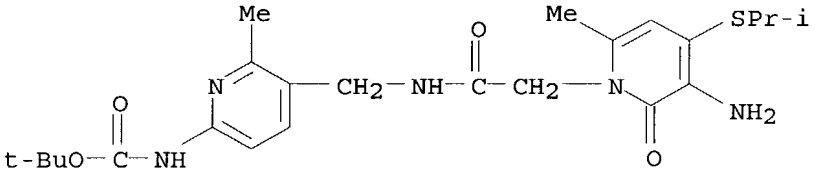


RN 210704-78-2 CAPLUS
CN Carbamic acid, [6-methyl-5-[[[[6-methyl-4-[(1-methylethyl)thio]-3-nitro-2-

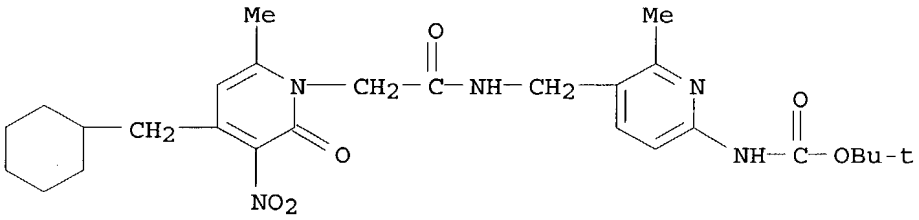
oxo-1(2H)-pyridinyl]acetyl]amino]methyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



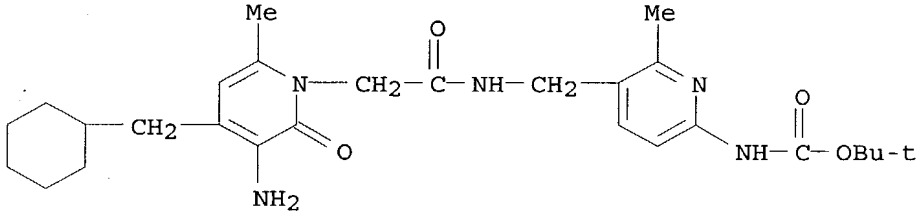
RN 210704-79-3 CAPLUS
CN Carbamic acid, [5-[[[[3-amino-6-methyl-4-[(1-methylethyl)thio]-2-oxo-1(2H)-pyridinyl]acetyl]amino]methyl]-6-methyl-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 210704-92-0 CAPLUS
CN Carbamic acid, [5-[[[[4-(cyclohexylmethyl)-6-methyl-3-nitro-2-oxo-1(2H)-pyridinyl]acetyl]amino]methyl]-6-methyl-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 210704-93-1 CAPLUS
CN Carbamic acid, [5-[[[[3-amino-4-(cyclohexylmethyl)-6-methyl-2-oxo-1(2H)-pyridinyl]acetyl]amino]methyl]-6-methyl-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

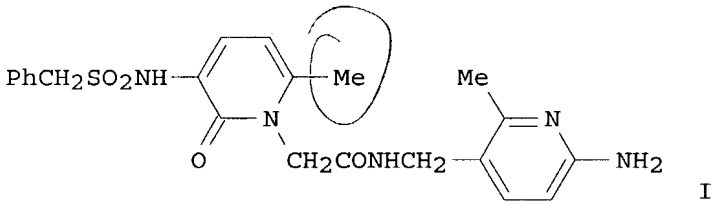


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:482702 CAPLUS

09/ 574,740

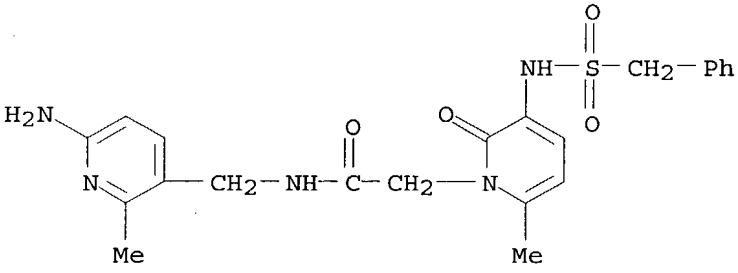
DOCUMENT NUMBER: 129:211229
TITLE: C6 modification of the pyridinone core of thrombin inhibitor L-374087 as a means of enhancing its oral absorption
AUTHOR(S): Isaacs, Richard C. A.; Cutrona, Kellie J.; Newton, Christina L.; Sanderson, Philip E. J.; Solinsky, Mark G.; Baskin, Elizabeth P.; Chen, I. - Wu; Cooper, Carolyn M.; Cook, Jacquelynn J.; Gardell, Stephen J.; Lewis, S. Dale; Lucas, Robert J., Jr.; Lyle, Elizabeth A.; Lynch, Joseph J., Jr.; Naylor-Olsen, Adel M.; Stranieri, Maria T.; Vastag, Kari; Vacca, Joseph P.
CORPORATE SOURCE: Merck Research Laboratories, Department of Medicinal Chemistry, West Point, PA, 19486, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(13), 1719-1724
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB L-374087 (I) is a potent, selective, efficacious, and orally bioavailable thrombin inhibitor that contains a core 3-amino-2-pyridinone moiety. Replacement of the C6 pyridinone Me group of I by a Pr group gave L-375052, which retained all the excellent properties of I, and also yielded higher plasma levels after oral dosing in dogs and rats.

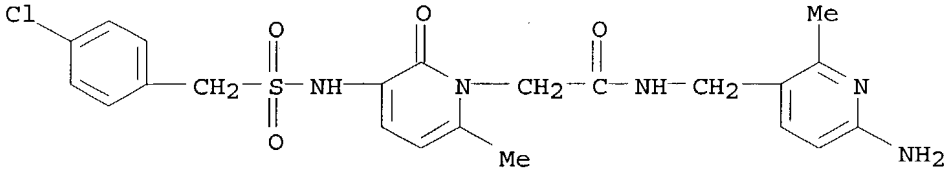
IT **187162-39-6P**, L 374087
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(modification of pyridinone core of thrombin inhibitor L-374087 as means of enhancing its oral absorption)
RN 187162-39-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



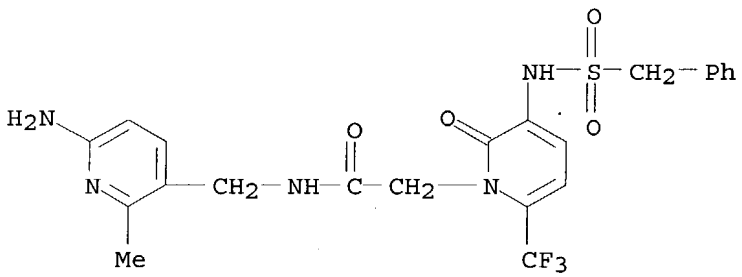
IT **187162-73-8 187162-75-0 187162-77-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)

(modification of pyridinone core of thrombin inhibitor L-374087 as means of enhancing its oral absorption)

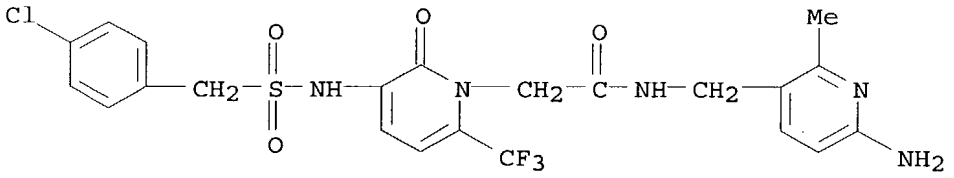
RN 187162-73-8 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 187162-75-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 187162-77-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-chlorophenyl)methyl]sulfonyl]amino]-2-oxo-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

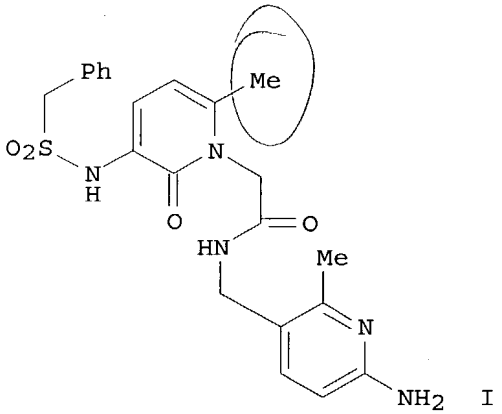


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:250024 CAPLUS
DOCUMENT NUMBER: 128:294675
TITLE: L-374,087, an efficacious, orally bioavailable, pyridinone acetamide thrombin inhibitor
AUTHOR(S): Sanderson, Philip E. J.; Cutrona, Kellie J.; Dorsey, Bruce D.; Dyer, Dona L.; Mcdonough, Colleen M.; Naylor-Olsen, Adel M.; Chen, I. -Wu; Chen, Zhongguo; Cook, Jacquelynn J.; Gardell, Stephen J.; Krueger, Julie A.; Lewis, S. Dale; Lin, Jiunn H.; Lucas, Bobby J., Jr.; Lyle, Elizabeth A.; Lynch, Joseph J., Jr.; Stranieri, Maria T.; Vastag, Kari; Shafer, Jules A.; Vacca, Joseph P.

09/ 574,740

CORPORATE SOURCE: Merck Research Laboratories, Department of Medicinal Chemistry, West Point, PA, 19486, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(7), 817-822
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

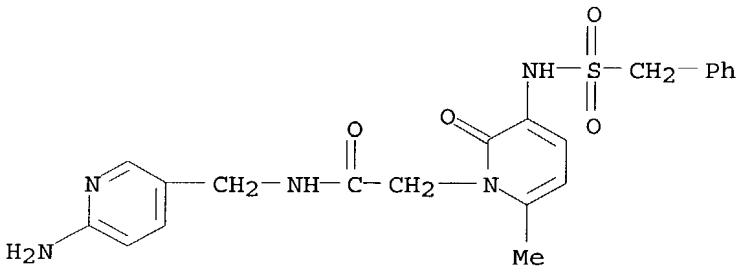


I

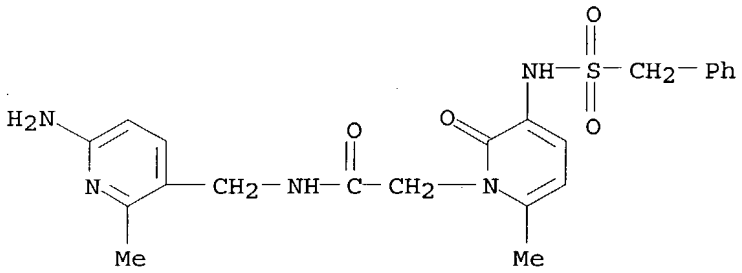
AB Replacement of the amidinopiperidine P1 group of 3-benzylsulfonylamino-6-methyl-2-pyridinone acetamide thrombin inhibitor L-373,890 with a mildly basic 5-linked 2-amino-6-methylpyridine results in an equipotent compd. L-374,087 (I, Ki = 0.5 nM). I is highly selective for thrombin over trypsin, is efficacious in the rat ferric chloride model of arterial thrombosis and is orally bioavailable in dogs and cynomolgus monkeys. The structural basis for the crit. importance of both Me groups in I was confirmed by X-ray crystallog.

IT **187162-37-4 187162-39-6 187162-67-0 187162-69-2 187162-71-6**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(inhibitory activity of pyridinone acetamide L-374,087 against thrombin and trypsin)

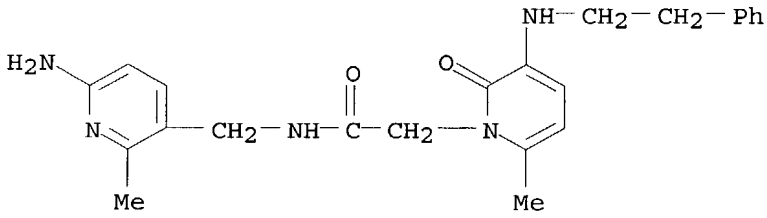
RN 187162-37-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



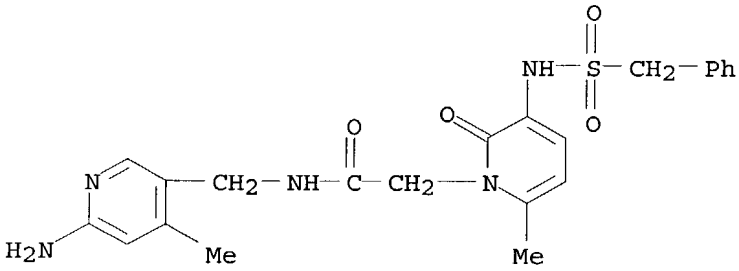
RN 187162-39-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



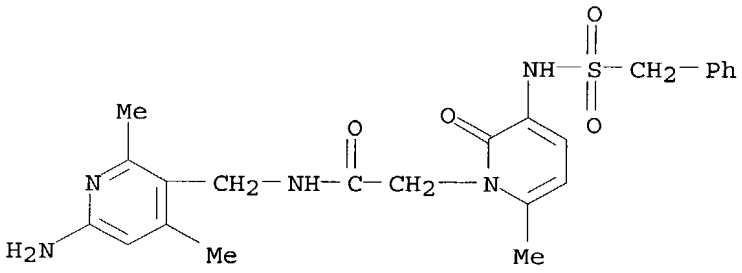
RN 187162-67-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



RN 187162-69-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-4-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 187162-71-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2,4-dimethyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:163587 CAPLUS
DOCUMENT NUMBER: 128:217627
TITLE: Preparation of heterocyclic .beta.-alanine derivatives as integrin antagonists
INVENTOR(S): Duggan, Mark E.; Hartman, George D.; Hoffman, William F.; Meissner, Robert S.; Perkins, James J.; Askew, Ben C.; Coleman, Paul J.; Hutchinson, John H.; Naylor-Olsen, Adel M.; et al.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Duggan, Mark E.; Hartman, George D.; Hoffman, William F.; Meissner, Robert S.; Perkins, James J.; Askew, Ben C.; Coleman, Paul J.; Hutchinson, John H.
SOURCE: PCT Int. Appl., 242 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808840	A1	19980305	WO 1997-US14912	19970825
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5981546	A	19991109	US 1997-912761	19970818
AU 9740865	A1	19980319	AU 1997-40865	19970825
AU 724191	B2	20000914		
EP 934305	A1	19990811	EP 1997-938568	19970825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2002511052	T2	20020409	JP 1998-511783	19970825
US 6211184	B1	20010403	US 1999-242885	19990614

PRIORITY APPLN. INFO.:
US 1996-25123P P 19960829
US 1996-33579P P 19961219
GB 1997-3015 A 19970213
US 1997-47177P P 19970520
WO 1997-US14912 W 19970825

OTHER SOURCE(S): MARPAT 128:217627
GI

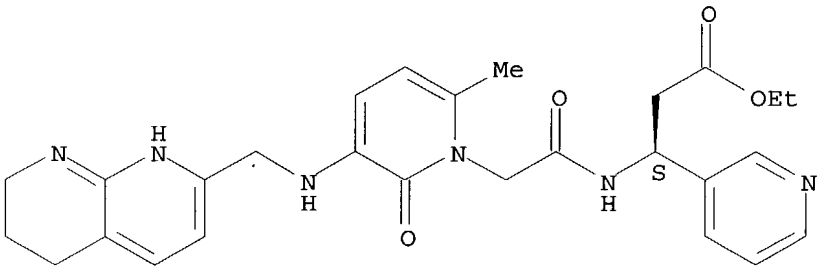
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention relates to certain novel compds. I [X = C(NR1R2):NR1, NR1C(NR1R2):NR2, optionally substituted 5-6 membered monocyclic arom. or nonarom. ring contg. 0-4 N, O,or S atoms, optionally substituted 9-10 membered polycyclic ring system contg. 0-4 N, O, or S atoms; Y = (CH2)t-Y1-(CH2)m, (CH2)r, Y1 = NR3, CO, CONR3, NR3CO, SO2NR3, NR3SO2, S(O)q, O; Z = optionally substituted 5-11 membered (non)arom. mono- or polycyclic ring system contg. 0-6 double bonds and 0-6 N, O, or S atoms; R1, R2 = independently H, halo, C1-10 alkyl, C3-8 cycloalkyl, aryl, amino, C1-4 alkoxy, C1-3 alkoxycarbonyl, OH, CN, CF3, CF3O, etc; R3 = H, aryl, OH, C1-5 alkoxy, aminocarbonyl, C1-8 alkyl, C1-8 alkylsulfonyl, aryloxycarbonyl, arylsulfonyl, arylthiocarbonyl, etc.; R8-R11 = H, aryl, halo, OH, C1-8 alkylcarbonylamino, C3-8 cycloalkyl, oxo,

aminocarbonyl,(un)substituted C1-8 alkyl, alkynyl, alkenyl, etc.; R12 = H, C1-8 alkyl, aryl, etc; m = 0-3; n = 1-3; q = 0-2; r = 0-6; t = 0-3; with provisos] and derivs. thereof, their synthesis, and their use as vitronectin receptor antagonists. The vitronectin receptor antagonist compds. of the present invention are .alpha.v.beta.3 antagonists, .alpha.v.beta.5 antagonists or dual .alpha.v.beta.3/.alpha.v.beta.5 antagonists useful for inhibiting bone resorption, treating and preventing osteoporosis, and inhibiting restenosis, diabetic retinopathy, macular degeneration, angiogenesis, atherosclerosis, inflammation and tumor growth. Representative compds. of the present invention were tested and found to inhibit .gtoreq.50% the attachment of .alpha.v.beta.3 expressing cells to plates coated with vitronectin at concns. of 1 .mu.M. Thus, stereoselective alkylation of oxazolidinone II (R = H) (prepn. given) with ClCH2CH2OSO2CF3 gave chloroethyl deriv. II (R = CH2CH2Cl), which underwent sequential substitution with NaN3 and reductive lactam formation with PPh3 in water to give pyrrolidinone III (R12 = H, X1 = CH2). Sequential alkylation with BrCH2CO2Et and oxidative cleavage of the double bond then furnished III (R12 = CH2CO2Et, X1 = O), which was cyclized with 2-amino-3-pyridinecarboxaldehyde to give naphthyridine IV. Partial hydrogenation of IV, followed by acidic hydrolysis, coupling with (S)-HC.tplbond.CCH(NH2)CH2CO2Et.HCl and final sapon. gave desired title compd. V. A pharmaceutical hard gel capsule formulation of V is also given.

IT **204453-02-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of heterocyclic .beta.-alanine derivs. as integrin antagonists)
RN 204453-02-1 CAPLUS
CN 3-Pyridinepropanoic acid, .beta.-[[[6-methyl-2-oxo-3-[[(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)methyl]amino]-1(2H)-pyridinyl]acetyl]amino]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



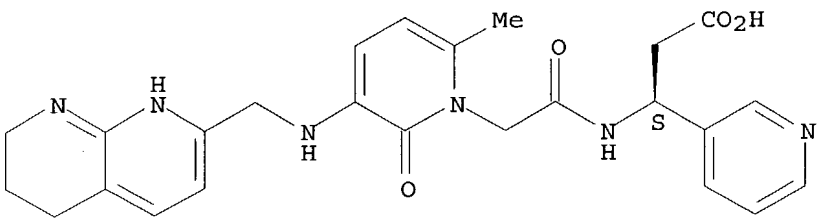
IT **204453-04-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclic .beta.-alanine derivs. as integrin antagonists)
RN 204453-04-3 CAPLUS
CN 3-Pyridinepropanoic acid, .beta.-[[[6-methyl-2-oxo-3-[[(1,5,6,7-tetrahydro-1,8-naphthyridin-2-yl)methyl]amino]-1(2H)-pyridinyl]acetyl]amino]-, (S)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 204453-03-2
CMF C25 H28 N6 O4

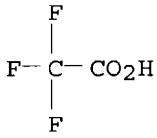
09/ 574,740

Absolute stereochemistry.



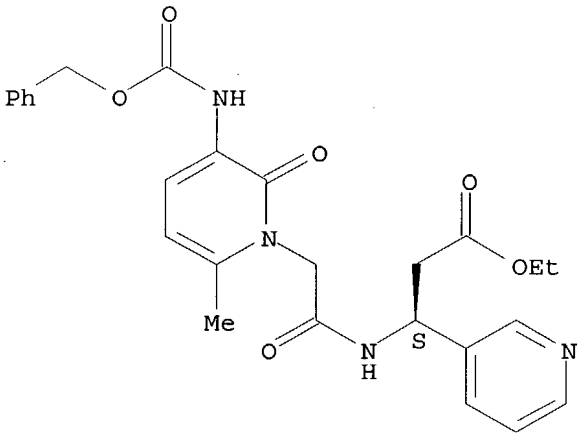
CM 2

CRN 76-05-1
CMF C2 H F3 O2



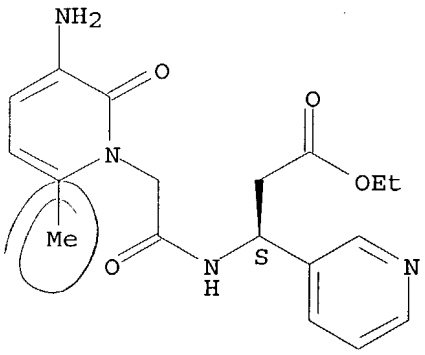
IT **204453-00-9P 204453-01-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of heterocyclic .beta.-alanine derivs. as integrin antagonists)
RN 204453-00-9 CAPLUS
CN 3-Pyridinepropanoic acid, .beta.-[[[6-methyl-2-oxo-3-[[(phenylmethoxy)carbonyl]amino]-1(2H)-pyridinyl]acetyl]amino]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204453-01-0 CAPLUS
CN 3-Pyridinepropanoic acid, .beta.-[[(3-amino-6-methyl-2-oxo-1(2H)-pyridinyl)acetyl]amino]-, ethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

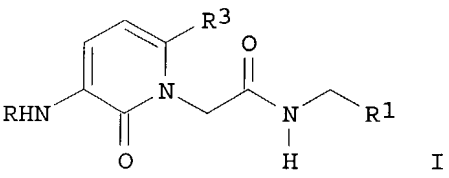


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:613883 CAPLUS
DOCUMENT NUMBER: 127:293131
TITLE: Preparation of 3-aralkylsulfonamido-2-oxodihydropyridine-1-acetamides and analogs as thrombin inhibitors
INVENTOR(S): Sanderson, Philip E.; Naylor-Olsen, Adel M.; Dyer, Dona L.; Vacca, Joseph P.; Isaacs, Richard C. A.; Dorsey, Bruce D.; Fraley, Mark E.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 36 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5668289	A	19970916	US 1996-669189	19960624
US 5744486	A	19980428	US 1997-829406	19970331

PRIORITY APPLN. INFO.: US 1996-669189 19960624
OTHER SOURCE(S): MARPAT 127:293131
GI



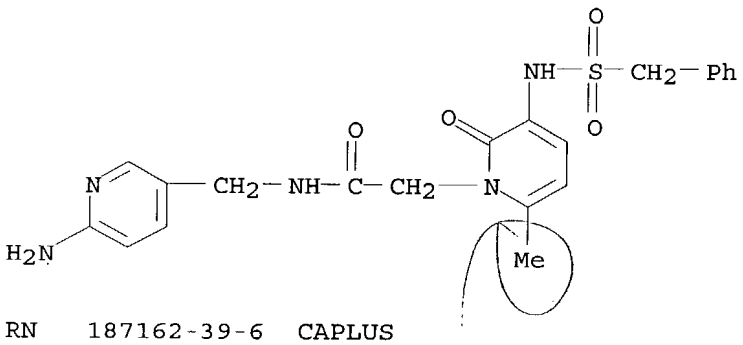
I

AB Title compds. [I; R = (phenyl)alkyl, alkoxycarbonyl, (un)substituted PhCH2SO2, etc.; R1 = trans-4-aminocyclohexyl, (un)substituted 6-amino-3-pyridinyl, etc.; R3 = H, (cyclo)alkyl, CF3] were prepd. Thus, 2-hydroxy-6-methylpyridine-3-carboxylic acid was refluxed with (PhO)2P(O)N3 and PhCH2OH and the product N-alkylated with BrCH2CO2CMe3 to give, in 3 addnl. steps, 3-benzylsulfonylamino-6-methyl-2-oxodihydropyridine-1-acetic acid which was amidated by trans-4-tert-butoxycarbonylaminocyclohexylmethylamine to give, after deprotection, I (R = PhCH2SO2, R1 = trans-4-aminocyclohexyl, R3 = Me).

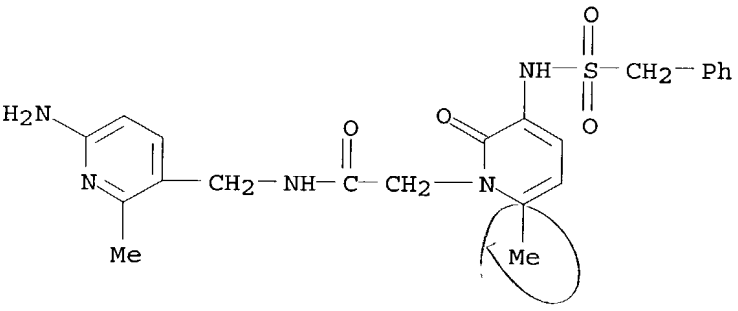
Data for biol. activity of I were given.

IT **187162-37-4P 187162-39-6P 187162-43-2P**
187162-55-6P 187162-57-8P 187162-59-0P
187162-63-6P 187162-65-8P 187162-67-0P
187162-69-2P 187162-71-6P 187162-73-8P
187162-75-0P 187162-77-2P 187162-82-9P
187162-84-1P 187162-86-3P 187162-89-6P
187162-91-0P 187162-93-2P 187162-99-8P
187163-01-5P 187163-13-9P 187163-15-1P
187163-17-3P 187163-19-5P 187163-21-9P
187163-23-1P 187163-25-3P 187163-27-5P
187163-29-7P 187163-33-3P 187163-35-5P
197022-97-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-aralkylsulfonamido-2-oxodihydropyridine-1-acetamides and analogs as thrombin inhibitors)

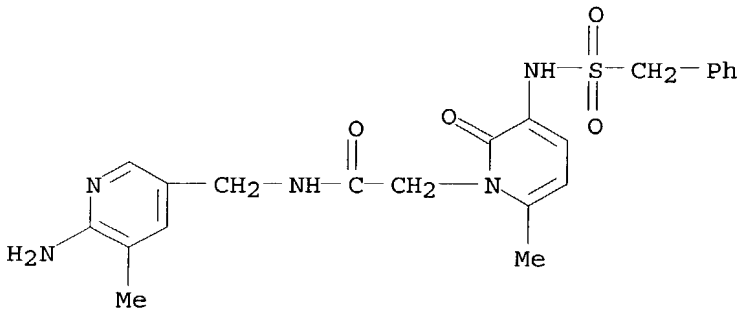
RN 187162-37-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



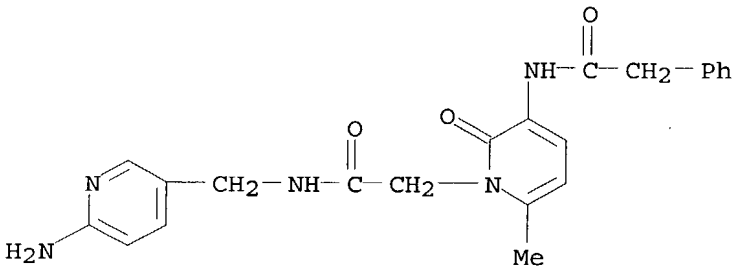
RN 187162-39-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



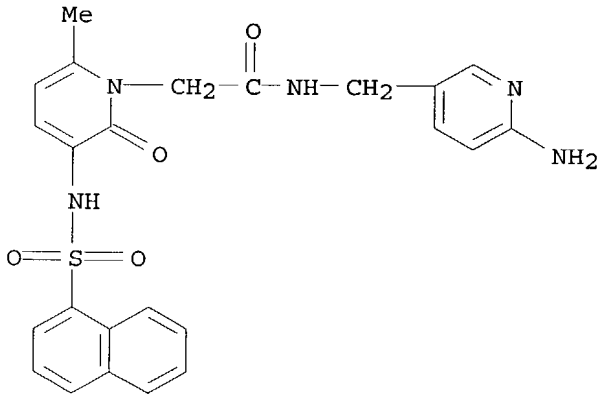
RN 187162-43-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-5-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



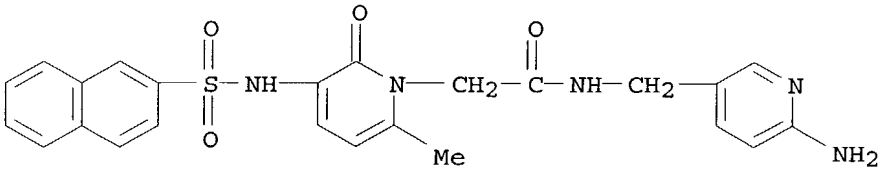
RN 187162-55-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[(phenylacetyl)amino]- (9CI) (CA INDEX NAME)



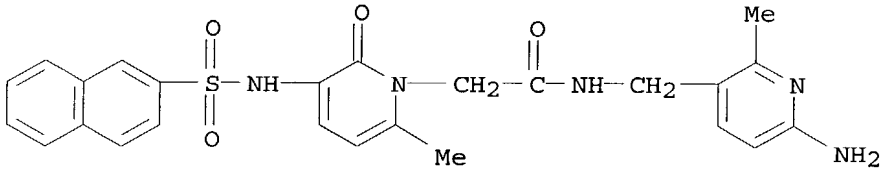
RN 187162-57-8 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-3-[(1-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



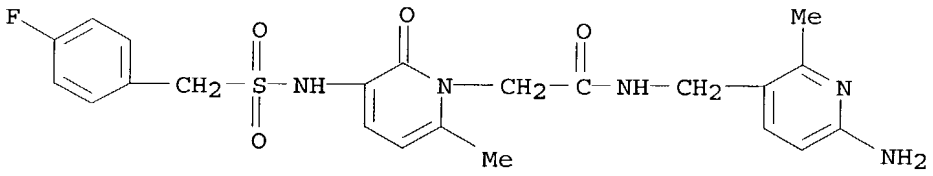
RN 187162-59-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-3-[(2-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



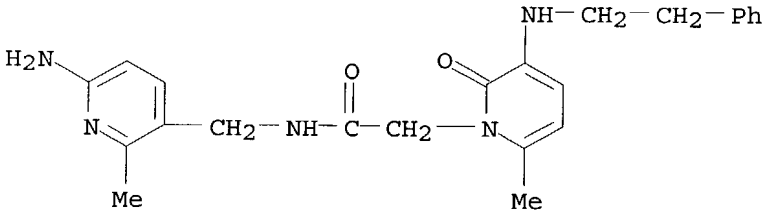
RN 187162-63-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-3-[(2-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



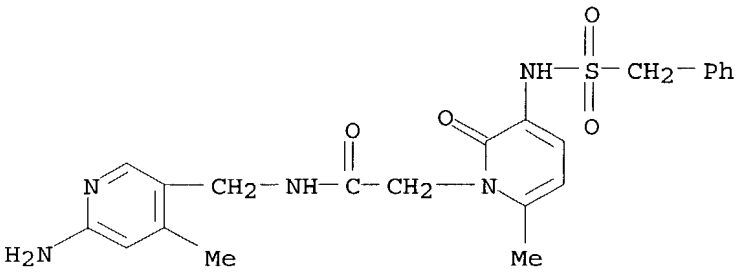
RN 187162-65-8 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



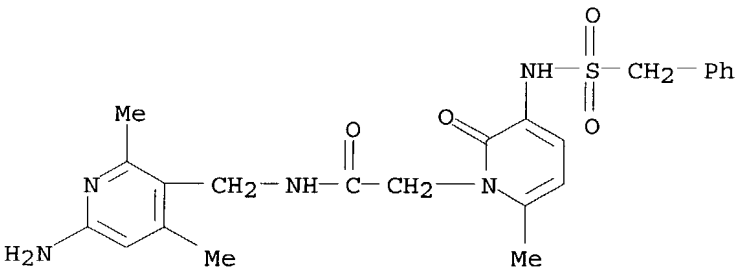
RN 187162-67-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



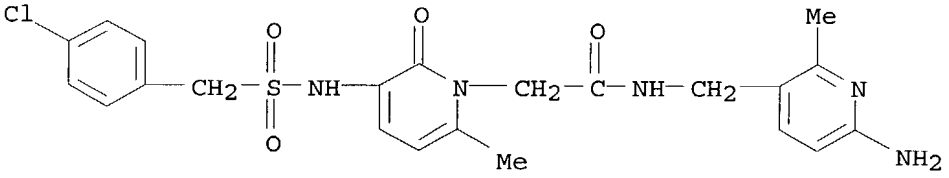
RN 187162-69-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-4-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



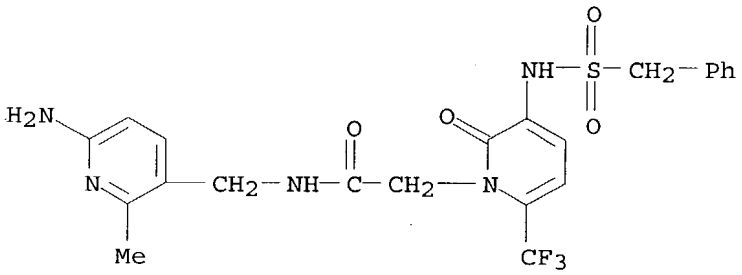
RN 187162-71-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2,4-dimethyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 187162-73-8 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

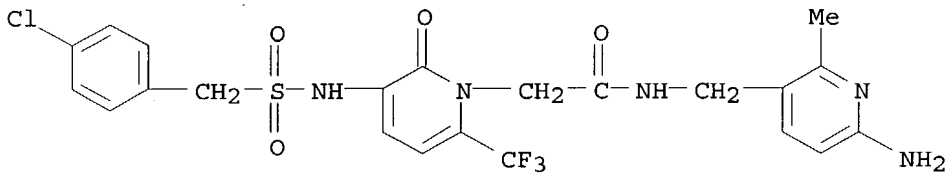


RN 187162-75-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

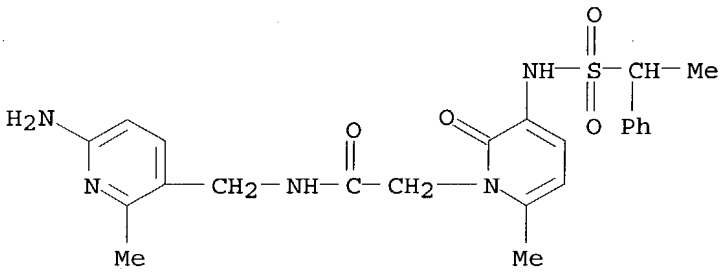


RN 187162-77-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-

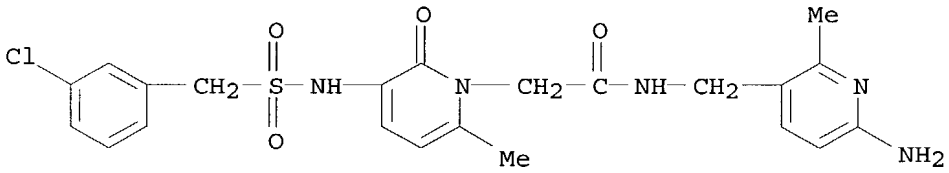
chlorophenyl)methyl]sulfonyl]amino]-2-oxo-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



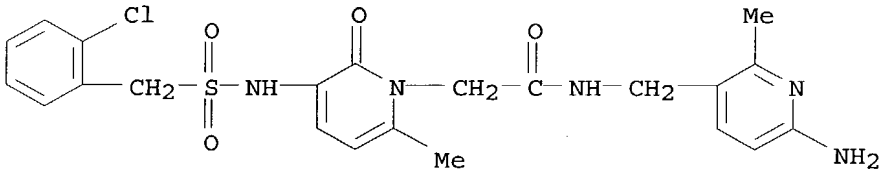
RN 187162-82-9 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(1-phenylethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



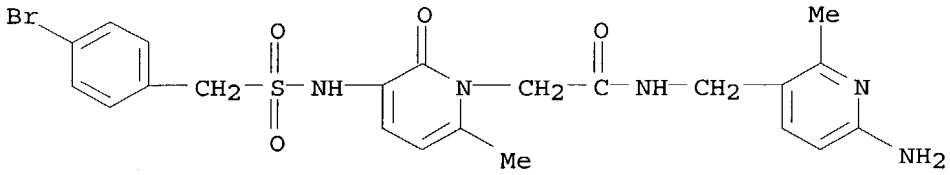
RN 187162-84-1 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(3-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



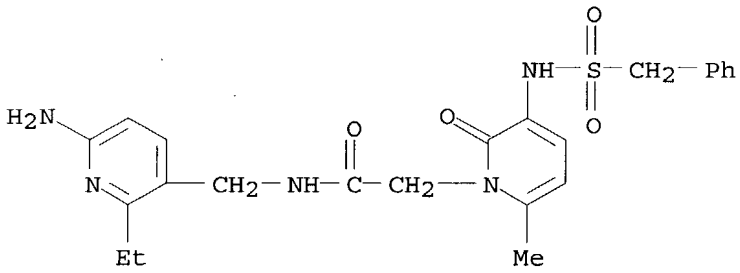
RN 187162-86-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(2-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



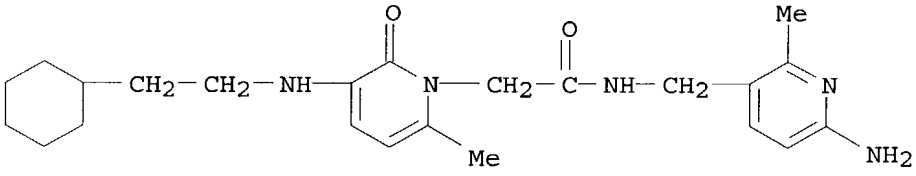
RN 187162-89-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-bromophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



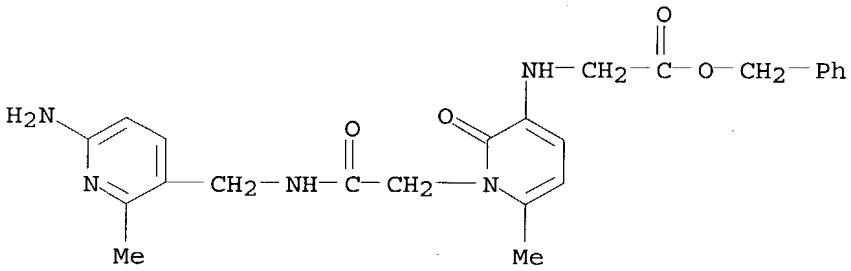
RN 187162-91-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-ethyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 187162-93-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[(2-cyclohexylethyl)amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

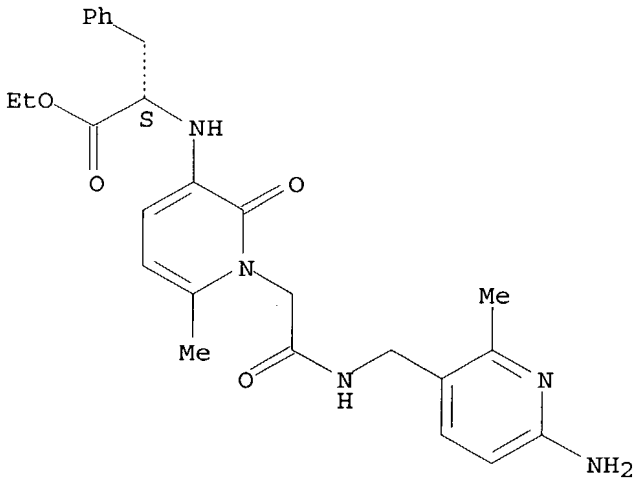


RN 187162-99-8 CAPLUS
CN Glycine, [1-[2-[[(6-amino-2-methyl-3-pyridinyl)methyl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

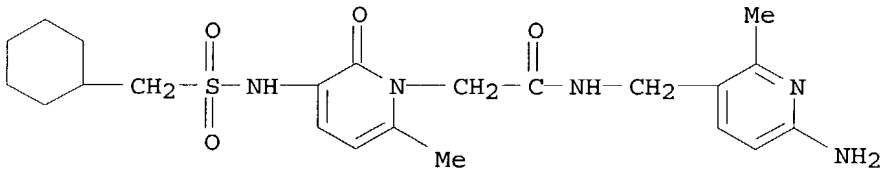


RN 187163-01-5 CAPLUS
CN L-Phenylalanine, N-[1-[2-[[(6-amino-2-methyl-3-pyridinyl)methyl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)

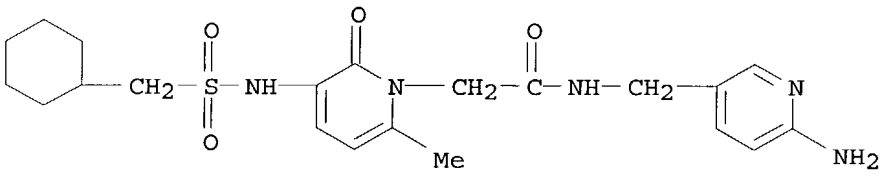
Absolute stereochemistry.



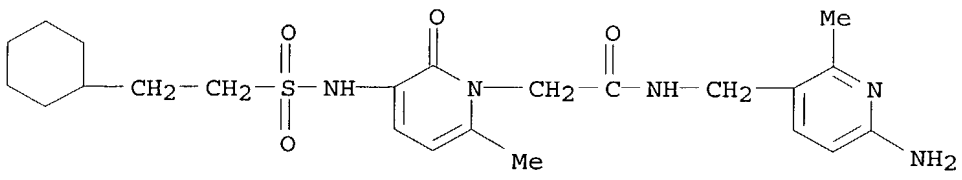
RN 187163-13-9 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[(cyclohexylmethyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



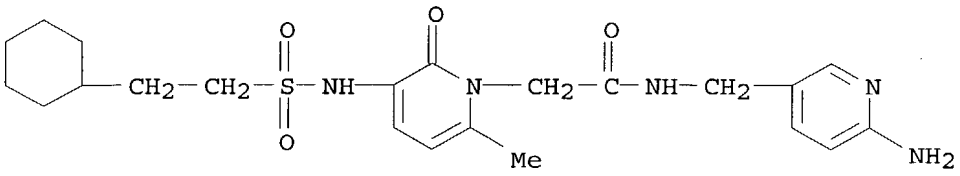
RN 187163-15-1 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-3-[[(cyclohexylmethyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



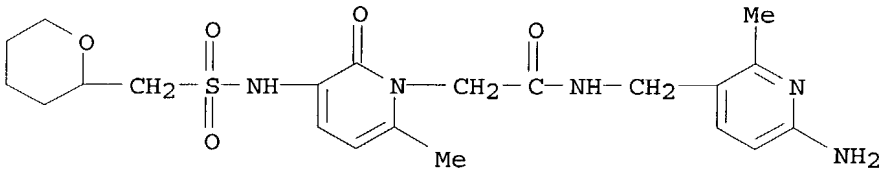
RN 187163-17-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[(2-cyclohexylethyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



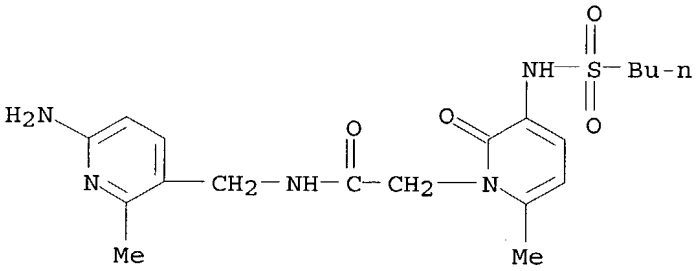
RN 187163-19-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-3-[[(2-cyclohexylethyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



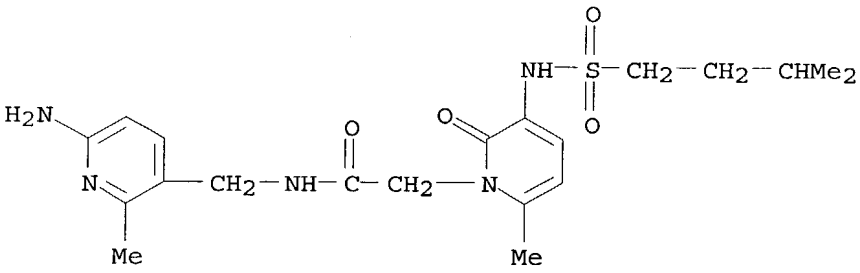
RN 187163-21-9 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[[(tetrahydro-2H-pyran-2-yl)methyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



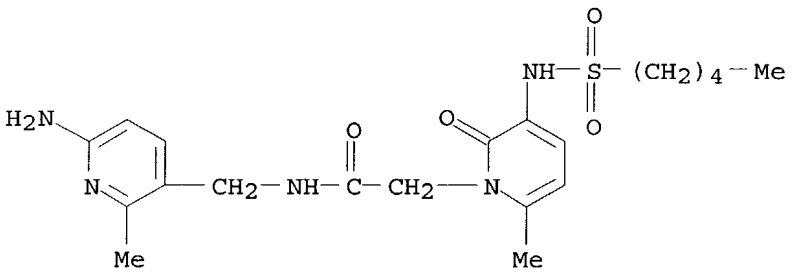
RN 187163-23-1 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[(butylsulfonyl)amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



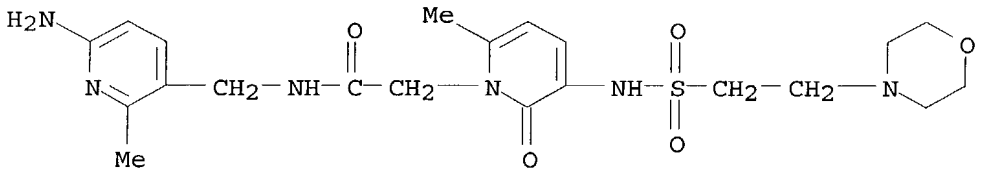
RN 187163-25-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-3-[[(3-methylbutyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



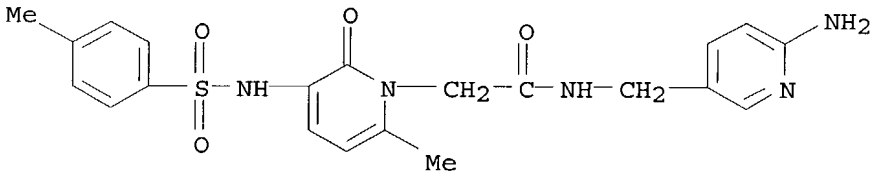
RN 187163-27-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[(pentylsulfonyl)amino]- (9CI) (CA INDEX NAME)



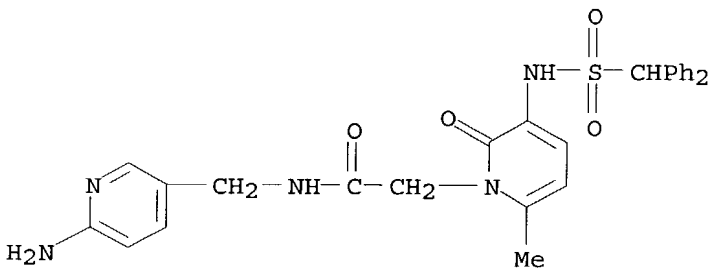
RN 187163-29-7 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-3-[[[2-(4-morpholinyl)ethyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



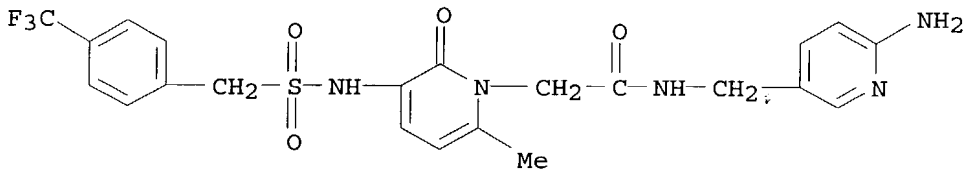
RN 187163-33-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-3-[[(4-methylphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



RN 187163-35-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-3-[[(diphenylmethyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

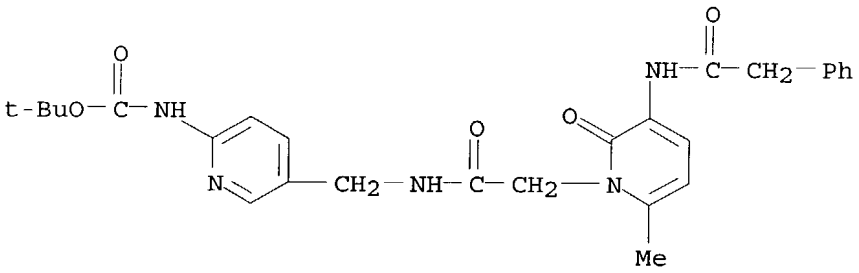


RN 197022-97-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[[[4-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

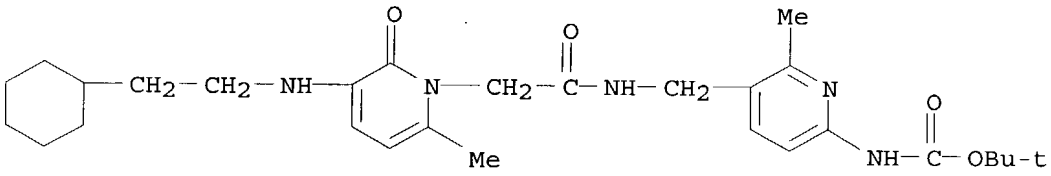


IT **187163-82-2P 187163-97-9P 187164-17-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 3-aralkylsulfonamido-2-oxodihydropyridine-1-acetamides and analogs as thrombin inhibitors)

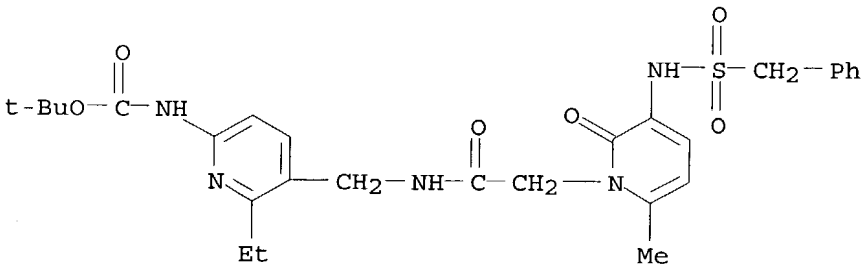
RN 187163-82-2 CAPLUS
CN Carbamic acid, [5-[[[[6-methyl-2-oxo-3-[(phenylacetyl)amino]-1(2H)-pyridinyl]acetyl]amino]methyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 187163-97-9 CAPLUS
CN Carbamic acid, [5-[[[[3-[(2-cyclohexylethyl)amino]-6-methyl-2-oxo-1(2H)-pyridinyl]acetyl]amino]methyl]-6-methyl-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 187164-17-6 CAPLUS
CN Carbamic acid, [6-ethyl-5-[[[[6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]acetyl]amino]methyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:178881 CAPLUS
DOCUMENT NUMBER: 126:171490
TITLE: Preparation of 2-pyridinones as thrombin inhibitors
INVENTOR(S): Sanderson, Philip E.; Naylor-Olsen, Adel M.; Dyer, Dona L.; Vacca, Joseph P.; Isaacs, Richard C. A.; Dorsey, Bruce D.; Fraley, Mark E.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA; Sanderson, Philip E.; Naylor-Olsen, Adel M.; Dyer, Dona L.; Vacca, Josep, P.; Isaacs, Richard C. A.; Dorsey, Bruce D.; Fraley, Mark, E.
SOURCE: PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9701338	A1	19970116	WO 1996-US10778	19960624
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2224437	AA	19970116	CA 1996-2224437	19960624
AU 9663917	A1	19970130	AU 1996-63917	19960624
AU 703744	B2	19990401		
EP 835109	A1	19980415	EP 1996-923399	19960624
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11508558	T2	19990727	JP 1996-504499	19960624

PRIORITY APPLN. INFO.:
US 1995-560P P 19950627
US 1995-3818P P 19950915
GB 1996-3450 A 19960219
WO 1996-US10778 W 19960624

OTHER SOURCE(S): MARPAT 126:171490
GI

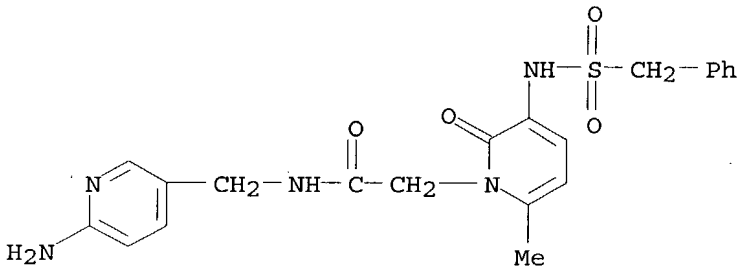
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; W = benzenemethylsulfonyl, diphenylmethylsulfonyl, naphthylsulfonyl, etc.; A = trans-4-aminocyclohexyl, 2-aminopyridin-4-yl, etc.; R3 = H, C1-4 alkyl, C3-7 cycloalkyl, CF3], useful in inhibiting thrombin and assocd. thrombotic occlusions, were prepd. Thus, reaction of PhCH2SO2Cl with 2-pyridinone II in the presence of Et3N in CH2Cl2 followed by treatment of the intermediate III in CH2Cl2/EtOAc with HCl gas, reaction of the Boc-deprotected intermediate with H2NC(:NH)SO3H in the presence of Et3N in DMF, and treatment of the resulting 2-pyridinone IV in MeOH/THF with 1M LiOH afforded V which showed Ki < 100 nM against human thrombin and Ki of > 500 nM against human trypsin.

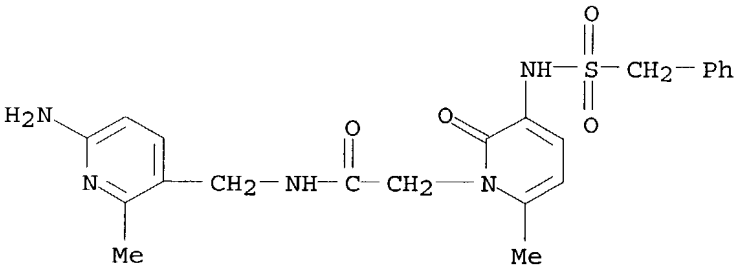
IT **187162-37-4P 187162-39-6P 187162-43-2P**
187162-55-6P 187162-57-8P 187162-59-0P
187162-63-6P 187162-65-8P 187162-67-0P
187162-69-2P 187162-71-6P 187162-73-8P
187162-75-0P 187162-77-2P 187162-82-9P

187162-84-1P 187162-86-3P 187162-89-6P
187162-91-0P 187162-93-2P 187162-99-8P
187163-01-5P 187163-13-9P 187163-15-1P
187163-17-3P 187163-19-5P 187163-21-9P
187163-23-1P 187163-25-3P 187163-27-5P
187163-29-7P 187163-33-3P 187163-35-5P
187237-48-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 2-pyridinones as thrombin inhibitors)

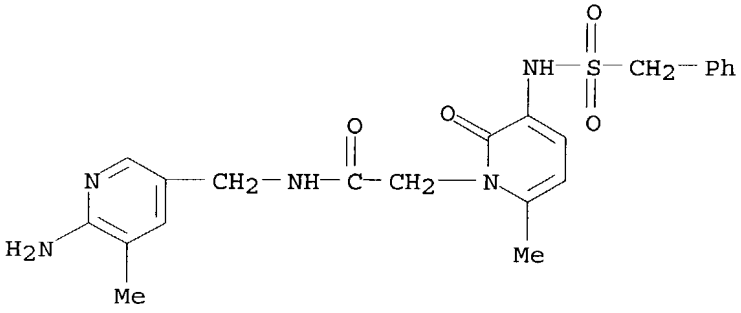
RN 187162-37-4 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



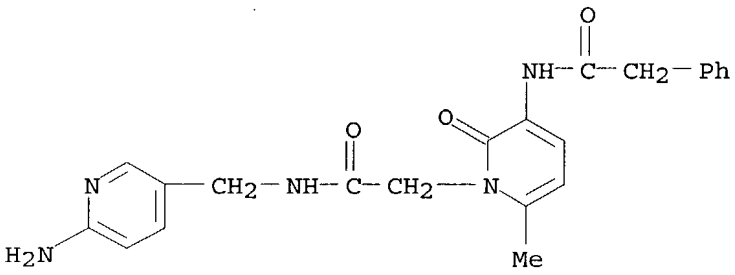
RN 187162-39-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



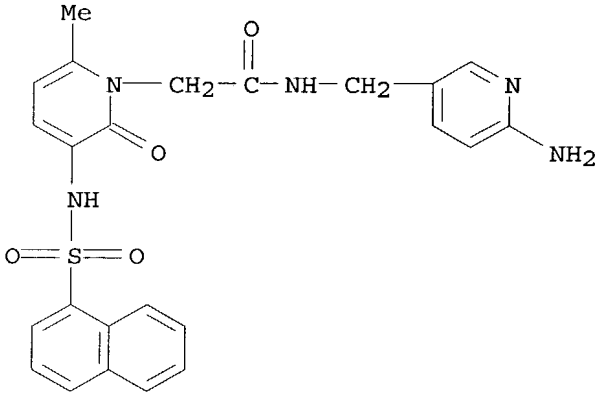
RN 187162-43-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-5-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



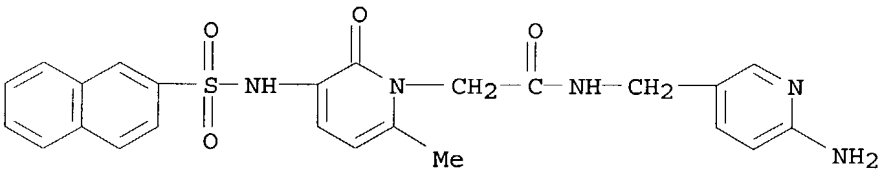
RN 187162-55-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[(phenylacetyl)amino]- (9CI) (CA INDEX NAME)



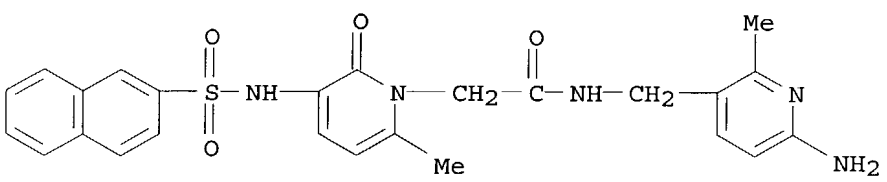
RN 187162-57-8 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-3-[(1-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



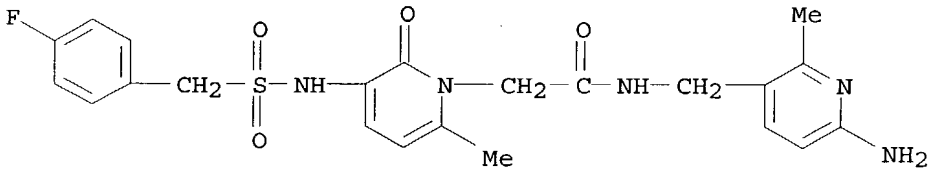
RN 187162-59-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-3-[(2-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



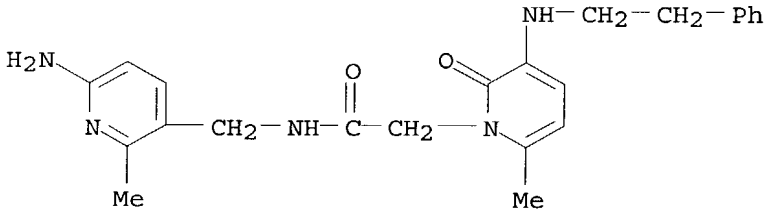
RN 187162-63-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-3-[(2-naphthalenylsulfonyl)amino]-2-oxo- (9CI) (CA INDEX NAME)



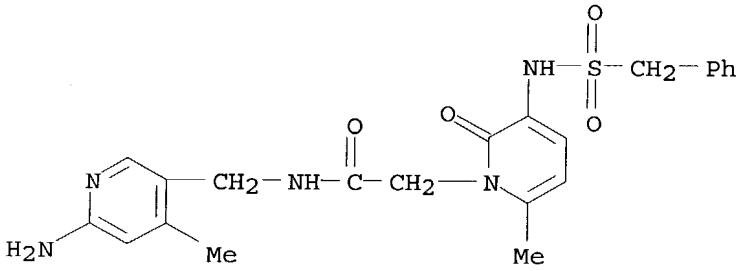
RN 187162-65-8 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-fluorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



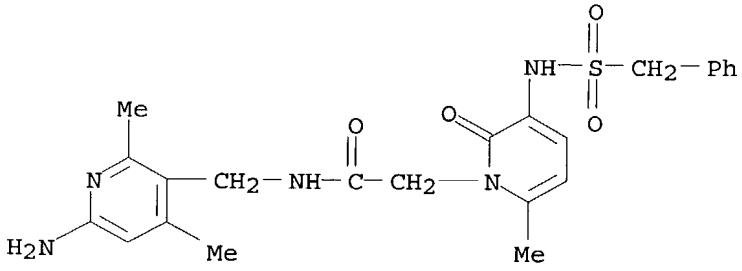
RN 187162-67-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[(2-phenylethyl)amino]- (9CI) (CA INDEX NAME)



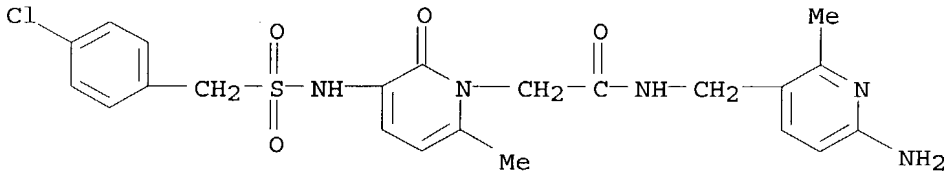
RN 187162-69-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-4-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



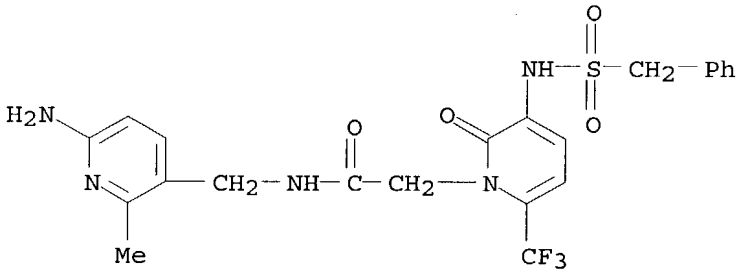
RN 187162-71-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2,4-dimethyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



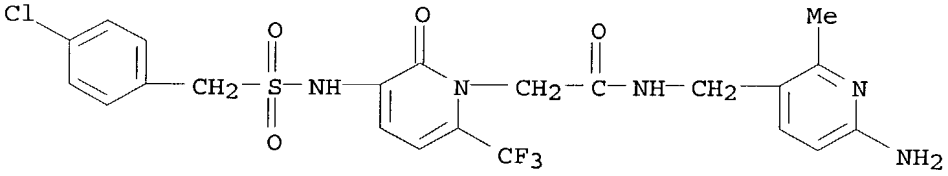
RN 187162-73-8 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



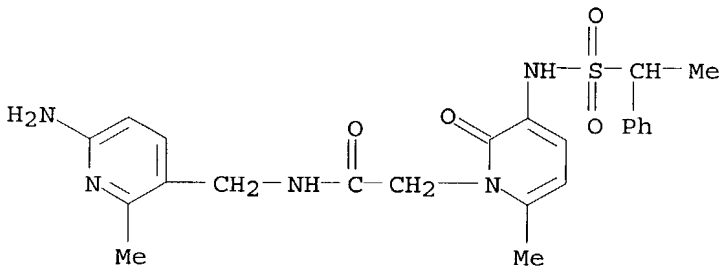
RN 187162-75-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 187162-77-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-chlorophenyl)methyl]sulfonyl]amino]-2-oxo-6-(trifluoromethyl)- (9CI) (CA INDEX NAME)

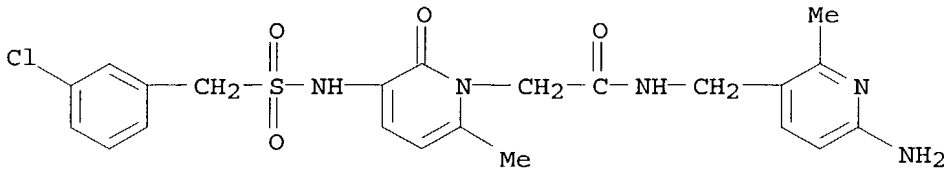


RN 187162-82-9 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(1-phenylethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)

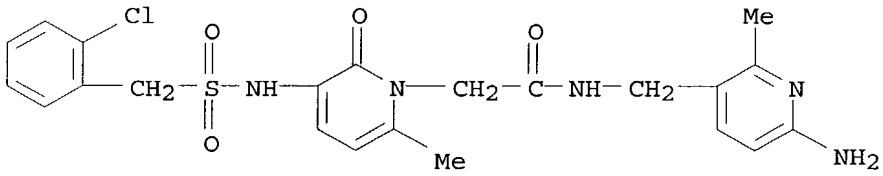


RN 187162-84-1 CAPLUS

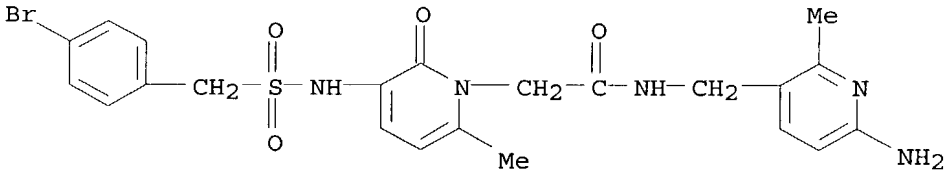
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(3-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



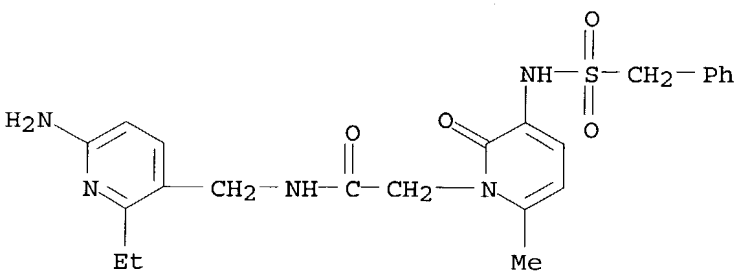
RN 187162-86-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(2-chlorophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



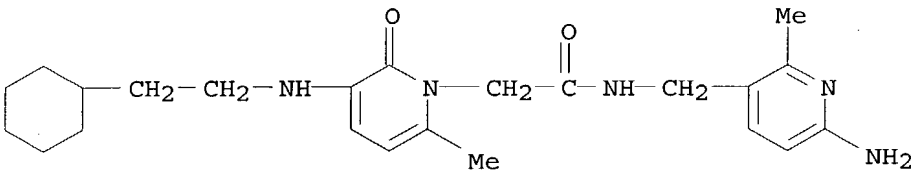
RN 187162-89-6 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[[(4-bromophenyl)methyl]sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



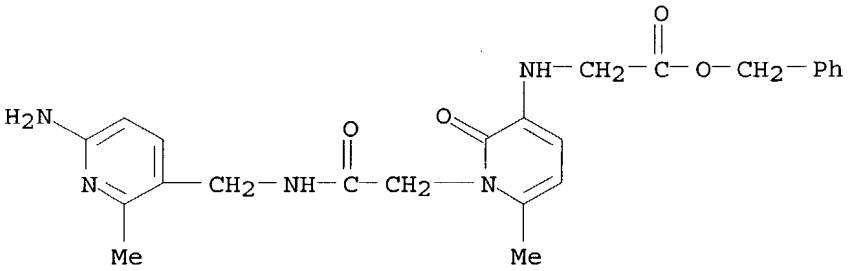
RN 187162-91-0 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-ethyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]- (9CI) (CA INDEX NAME)



RN 187162-93-2 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[(2-cyclohexylethyl)amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

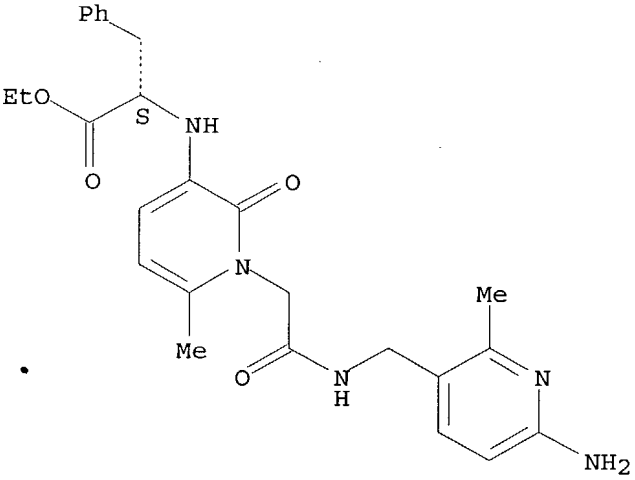


RN 187162-99-8 CAPLUS
CN Glycine, [1-[2-[[(6-amino-2-methyl-3-pyridinyl)methyl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

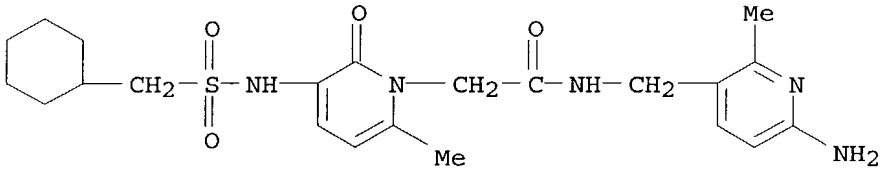


RN 187163-01-5 CAPLUS
CN L-Phenylalanine, N-[1-[2-[[(6-amino-2-methyl-3-pyridinyl)methyl]amino]-2-oxoethyl]-1,2-dihydro-6-methyl-2-oxo-3-pyridinyl]-, ethyl ester (9CI) (CA INDEX NAME)

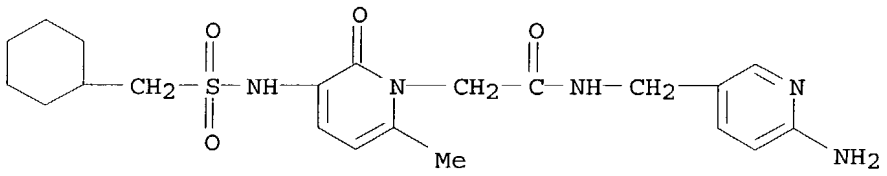
Absolute stereochemistry.



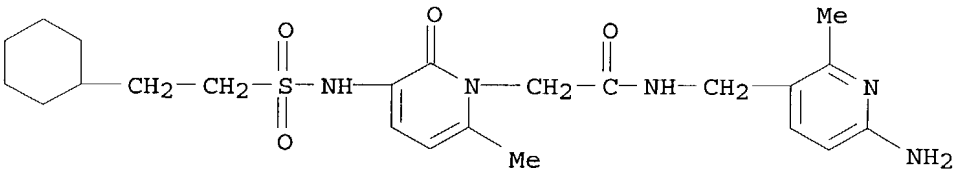
RN 187163-13-9 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[(cyclohexylmethyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



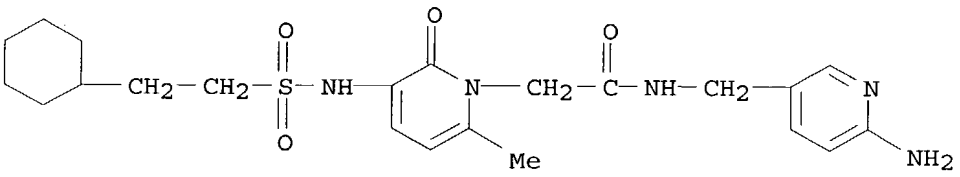
RN 187163-15-1 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-3-[[(cyclohexylmethyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



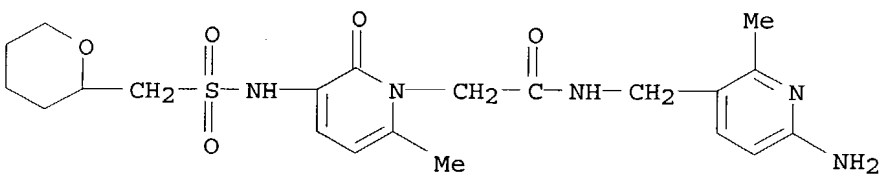
RN 187163-17-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[[(2-cyclohexylethyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



RN 187163-19-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-3-[[(2-cyclohexylethyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)

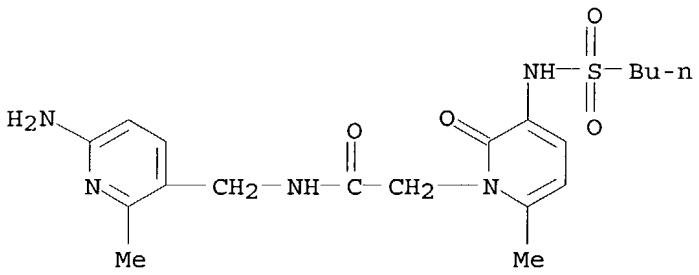


RN 187163-21-9 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[[(tetrahydro-2H-pyran-2-yl)methyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)

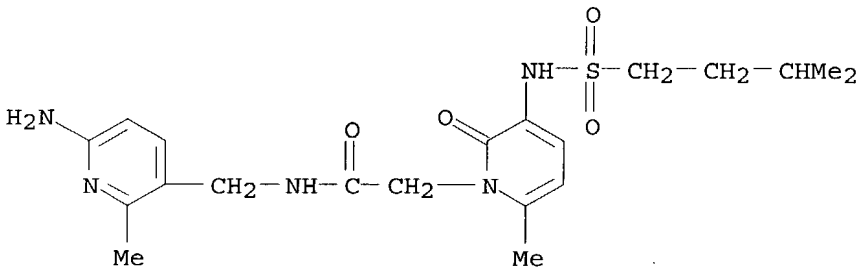


RN 187163-23-1 CAPLUS

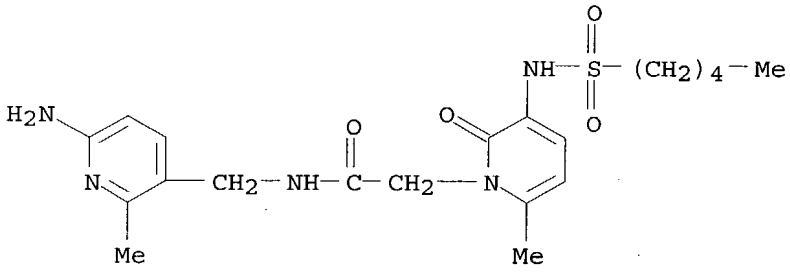
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-3-[(butylsulfonyl)amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



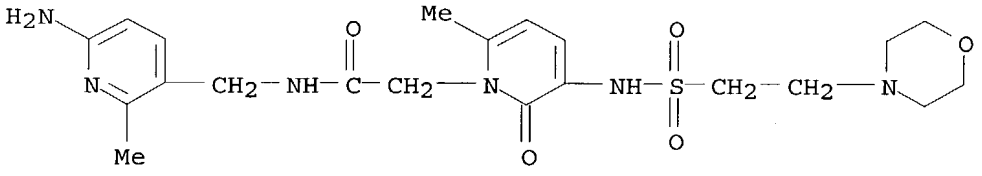
RN 187163-25-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-3-[[(3-methylbutyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



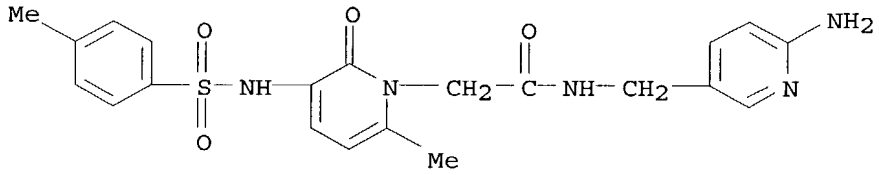
RN 187163-27-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[(pentylsulfonyl)amino]- (9CI) (CA INDEX NAME)



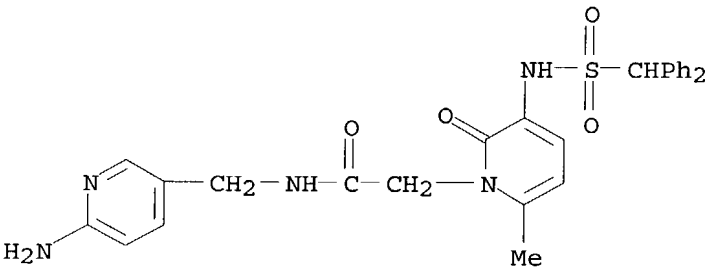
RN 187163-29-7 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-3-[[[2-(4-morpholinyl)ethyl]sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



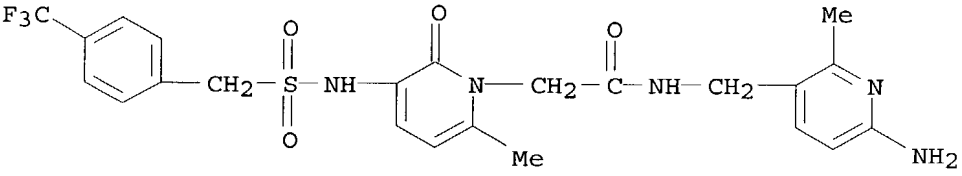
RN 187163-33-3 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-6-methyl-3-[[(4-methylphenyl)sulfonyl]amino]-2-oxo- (9CI) (CA INDEX NAME)



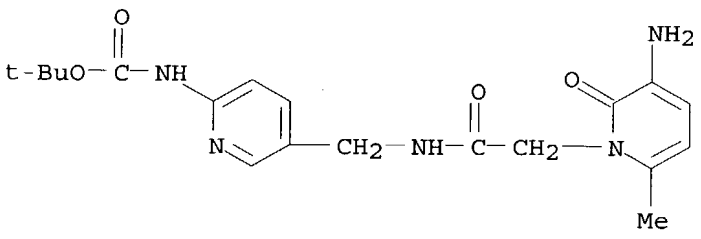
RN 187163-35-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-3-pyridinyl)methyl]-3-[[(diphenylmethyl)sulfonyl]amino]-6-methyl-2-oxo- (9CI) (CA INDEX NAME)



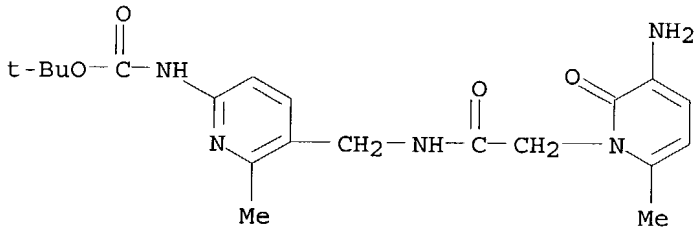
RN 187237-48-5 CAPLUS
CN 1(2H)-Pyridineacetamide, N-[(6-amino-2-methyl-3-pyridinyl)methyl]-6-methyl-2-oxo-3-[[[[4-(trifluoromethyl)phenyl]methyl]sulfonyl]amino]- (9CI) (CA INDEX NAME)



IT **187164-10-9 187237-49-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 2-pyridinones as thrombin inhibitors)
RN 187164-10-9 CAPLUS
CN Carbamic acid, [5-[[[(3-amino-6-methyl-2-oxo-1(2H)-pyridinyl)acetyl]amino]methyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

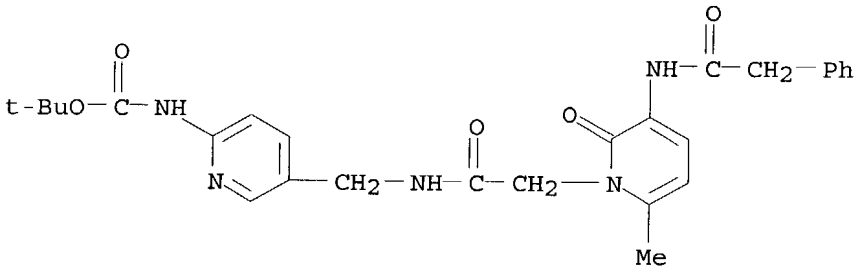


RN 187237-49-6 CAPLUS
CN Carbamic acid, [5-[[[(3-amino-6-methyl-2-oxo-1(2H)-pyridinyl)acetyl]amino]methyl]-6-methyl-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

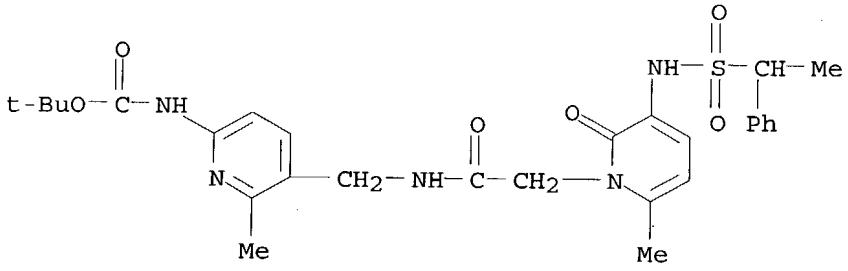


IT **187163-82-2P 187163-93-5P 187163-97-9P 187164-17-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 2-pyridinones as thrombin inhibitors)

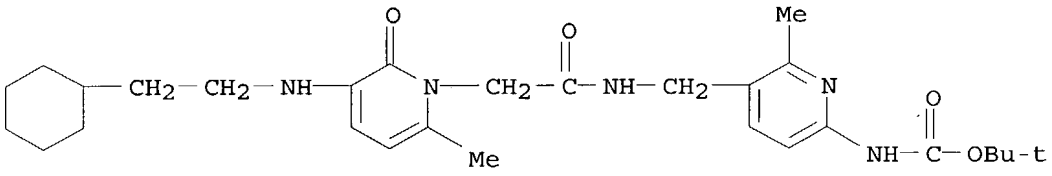
RN 187163-82-2 CAPLUS
CN Carbamic acid, [5-[[[[6-methyl-2-oxo-3-[(phenylacetyl)amino]-1(2H)-pyridinyl]acetyl]amino]methyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



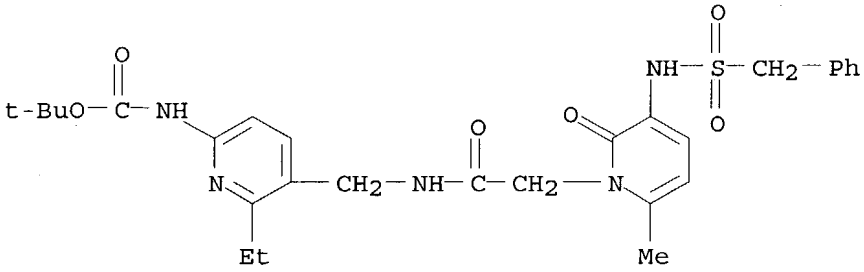
RN 187163-93-5 CAPLUS
CN Carbamic acid, [6-methyl-5-[[[[6-methyl-2-oxo-3-[[(1-phenylethyl)sulfonyl]amino]-1(2H)-pyridinyl]acetyl]amino]methyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



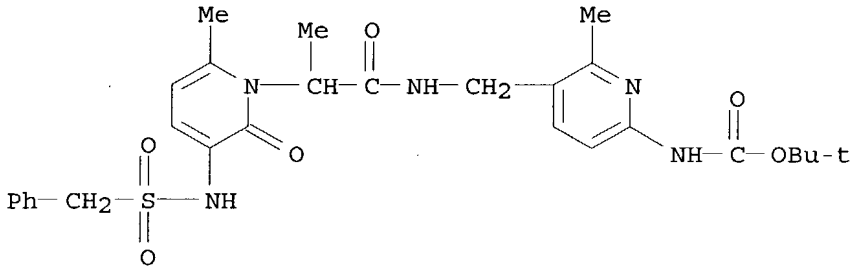
RN 187163-97-9 CAPLUS
CN Carbamic acid, [5-[[[[3-[(2-cyclohexylethyl)amino]-6-methyl-2-oxo-1(2H)-pyridinyl]acetyl]amino]methyl]-6-methyl-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 187164-17-6 CAPLUS
CN Carbamic acid, [6-ethyl-5-[[[[6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]acetyl]amino]methyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **187163-94-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 2-pyridinones as thrombin inhibitors)
RN 187163-94-6 CAPLUS
CN Carbamic acid, [6-methyl-5-[[[2-[6-methyl-2-oxo-3-[[(phenylmethyl)sulfonyl]amino]-1(2H)-pyridinyl]-1-oxopropyl]amino]methyl]-2-pyridinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 15:27:20 ON 13 JAN 2004)

FILE 'REGISTRY' ENTERED AT 15:27:28 ON 13 JAN 2004
L1 STRUCTURE UPLOADED
L2 86 S L1 FUL

FILE 'CAPLUS' ENTERED AT 15:28:07 ON 13 JAN 2004
L3 17 S L2

=> log y
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION